- => ....Testing the current file.... screen
- ENTER SCREEN EXPRESSION OR (END):end
- => screen 1839
- L1 SCREEN CREATED
- => screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
- L2 SCREEN CREATED

=>

 ${\tt Uploading C:\Program Files\Stnexp\Queries\10779532.str}$ 

chain nodes :
44 54
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 12-13 12-16 13-14
14-15 15-16 17-18 17-21 18-19 19-20 20-21 22-23 22-26 23-24 24-25 25-26
27-28 27-31 28-29 29-30 30-31 32-33 32-36 33-34 34-35 35-36 37-38 37-41
38-39 39-40 40-41 Page 2

exact/norm bonds : 7-8 7-11 8-9 9-10 10-11 12-13 12-16 13-14 14-15 15-16 17-18 17-21 18-19 19-20 20-21 22-23 22-26 23-24 24-25 25-26 27-28 27-31 28-29 29-30 30-31 32-33 32-36 33-34 34-35 35-36 37-38 37-41 38-39 39-40 40-41 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 1 : 7 : 12 : 17 : 22 : 27 : 32 : 37 : G1:[\*1],[\*2],[\*3],[\*4],[\*5],[\*6],[\*7] Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom 44:CLASS 45:CLASS 54:CLASS 55:CLASS L3 STRUCTURE UPLOADED => que L3 AND L1 NOT L2 'L4 QUE L3 AND L1 NOT L2 => d 14L4 HAS NO ANSWERS SCR 1839 T.1 L2 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047 L3Structure diagram not available for display Structure attributes must be viewed using STN Express query preparation. QUE L3 AND L1 NOT L2 => s 14 sss sam SAMPLE SEARCH INITIATED 14:43:29 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 4749 TO ITERATE 21.1% PROCESSED 1000 ITERATIONS 19 ANSWERS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01 FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* \*\*COMPLETE\*\* BATCH PROJECTED ITERATIONS: 90848 TO 99112 PROJECTED ANSWERS: 1235 TO

19 SEA SSS SAM L3 AND L1 NOT L2

=> => ....Testing the current file.... screen

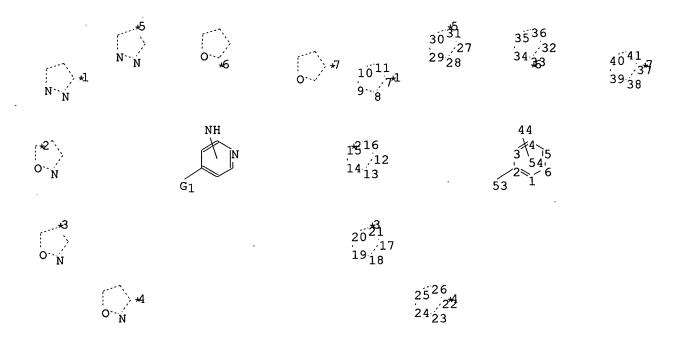
# 10/779,532

# ENTER SCREEN EXPRESSION OR (END):end

- => screen 1839
- L6 SCREEN CREATED
- => screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
- L7 SCREEN CREATED

=>

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chain nodes :
44 53
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41
chain bonds :
2-53

```
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 12-13 12-16 13-14
14-15 15-16 17-18 17-21 18-19 19-20 20-21 22-23 22-26 23-24 24-25 25-26
27-28 27-31 28-29 29-30 30-31 32-33 32-36 33-34 34-35 35-36 37-38 37-41
38-39 39-40 40-41
exact/norm bonds :
2-53 7-8 7-11 8-9 9-10 10-11
                                12-13 12-16 13-14 14-15 15-16 17-18 17-21
18-19 19-20 20-21 22-23 22-26 23-24 24-25
                                             25-26
                                                    27-28 27-31 28-29 29-30
30-31 32-33 32-36 33-34 34-35 35-36 37-38 37-41 38-39 39-40 40-41
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 7 : 12 : 17 : 22 : 27 : 32 : 37 :
G1: [*1], [*2], [*3], [*4], [*5], [*6], [*7]
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom
29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 35:Atom 36:Atom 37:Atom
38:Atom 39:Atom 40:Atom 41:Atom 44:CLASS 53:CLASS 54:CLASS
L8
       STRUCTURE UPLOADED
=> que L8 AND L6 NOT L7
    QUE L8 AND L6 NOT L7
T.9
=> d 19
L9 HAS NO ANSWERS
L6
              SCR 1839
L7
              SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
L8
              STR .
Structure diagram not available for display
Structure attributes must be viewed using STN Express guery preparation.
    . QUE L8 AND L6 NOT L7
=> s 19 sss sam
SAMPLE SEARCH INITIATED 14:46:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1242 TO ITERATE
80.5% PROCESSED
                  1000 ITERATIONS
                                                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                      BATCH **COMPLETE**
PROJECTED ITERATIONS:
                       22726 TO
                                    26954
PROJECTED ANSWERS:
                            850 TO
                                      1832
```

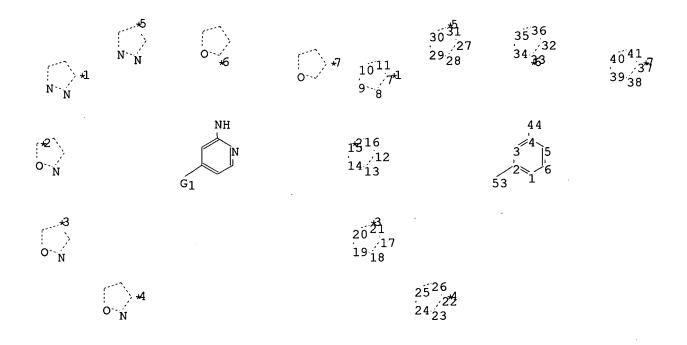
- L10 50 SEA SSS SAM L8 AND L6 NOT L7
- => => ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

- => screen 1839
- L11 SCREEN CREATED
- => screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
- L12 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10779532 (b).str



chain nodes : 44 53

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41

chain bonds : 2-53 4-44

ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 12-13 12-16 13-14 14-15 15-16 17-18 17-21 18-19 19-20 20-21 22-23 22-26 23-24 24-25 25-26 27-28 27-31 28-29 29-30 30-31 32-33 32-36 33-34 34-35 35-36 37-38 37-41 38-39 39-40 40-41 exact/norm bonds :  $2-53 \quad 4-44 \quad 7-8 \quad 7-11 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13 \quad 12-16 \quad 13-14 \quad 14-15 \quad 15-16 \quad 17-18$ 17-21 18-19 19-20 20-21 22-23 22-26 23-24 24-25 25-26 27-28 27-31 28-29 29-30 30-31 32-33 32-36 33-34 34-35 35-36 37-38 37-41 38-39 39-40 40-41 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems: containing 1 : 7 : 12 : 17 : 22 : 27 : 32 : 37 : G1: [\*1], [\*2], [\*3], [\*4], [\*5], [\*6], [\*7]

### Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom 44:CLASS 53:CLASS

STRUCTURE UPLOADED L13

=> que L13 AND L11 NOT L12

L14 QUE L13 AND L11 NOT L12

=> d 114

L14 HAS NO ANSWERS

L11 SCR 1839

L12 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L13 STR

Structure diagram not available for display

Structure attributes must be viewed using STN Express query preparation. L14 QUE L13 AND L11 NOT L12

=> s 114 sss sam

SAMPLE SEARCH INITIATED 14:50:01 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 275 TO ITERATE

100.0% PROCESSED 275 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 4506 TO 6494 PROJECTED ANSWERS: 930 TO 1948

50 ANSWERS

# L15 50 SEA SSS SAM L13 AND L11 NOT L12

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

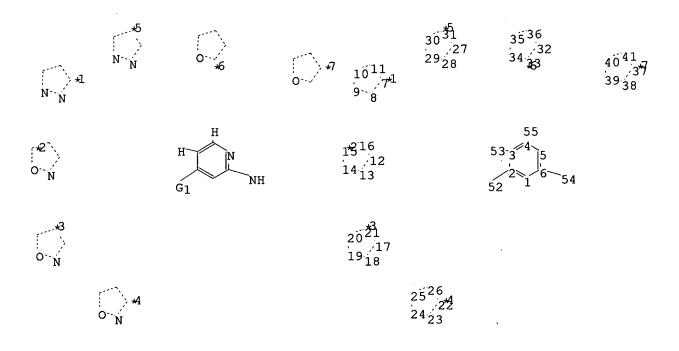
L16 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L17 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10779532 (c).str



chain nodes :
52 53 54 55
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41
chain bonds :
2-52 3-53 4-55 6-54

```
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 12-13 12-16 13-14
14-15 15-16 17-18 17-21 18-19 19-20 20-21 22-23 22-26 23-24 24-25 25-26
27-28 27-31 28-29 29-30 30-31 32-33 32-36 33-34 34-35 35-36 37-38 37-41 38-39 39-40 40-41
exact/norm bonds :
2-52 \quad 6-54 \quad 7-8 \quad 7-11 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13 \quad 12-16 \quad 13-14 \quad 14-15 \quad 15-16 \quad 17-18
17-21 18-19 19-20 20-21 22-23 22-26 23-24 24-25 25-26 27-28 27-31 28-29
29-30 30-31 32-33 32-36 33-34 34-35 35-36 37-38 37-41 38-39 39-40 40-41
exact bonds :
3-53 4-55
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 7 : 12 : 17 : 22 : 27 : 32 : 37 :
G1: [*1], [*2], [*3], [*4], [*5], [*6], [*7]
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom
29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom
38:Atom 39:Atom 40:Atom 41:Atom 52:CLASS 53:CLASS 54:CLASS 55:CLASS
L18
       STRUCTURE UPLOADED
=> que L18 AND L16 NOT L17
L19 QUE L18 AND L16 NOT L17
=> d 119
L19 HAS NO ANSWERS
L16
                SCR 1839
                SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
L17
L18
                STR
Structure diagram not available for display
Structure attributes must be viewed using STN Express query preparation.
L19
                QUE L18 AND L16 NOT L17
\Rightarrow s 119 sss sam
SAMPLE SEARCH INITIATED 14:53:33 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 275 TO ITERATE
                                                               9 ANSWERS
100.0% PROCESSED
                     275 ITERATIONS
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS:
                        ONLINE **COMPLETE**
                        BATCH **COMPLETE**
PROJECTED ITERATIONS:
                              4506 TO
                                          6494
```

PROJECTED ANSWERS:

9 TO 359

L20 9 SEA SSS SAM L18 AND L16 NOT L17

=> => ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

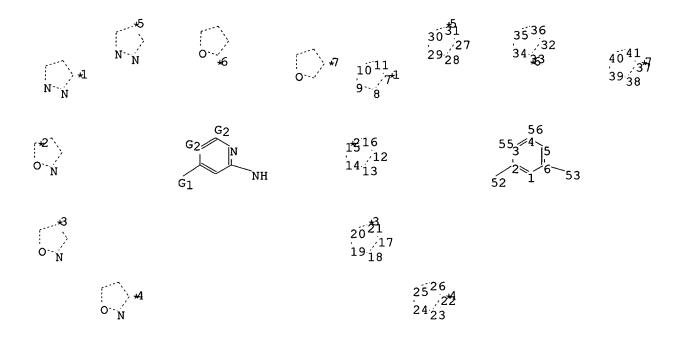
L21 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L22 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10779532 (d).str



chain nodes :
52 53 55 56
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41
chain bonds :
2-52 3-55 4-56 6-53

## 10/779,532

ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 12-13 12-16 13-14 14-15 15-16 17-18 17-21 18-19 19-20 20-21 22-23 22-26 23-24 24-25 25-26 27-28 27-31 28-29 29-30 30-31 32-33 32-36 33-34 34-35 35-36 37-38 37-41 38-39 39-40 40-41 exact/norm bonds : 2-52 3-55 4-56 6-53 7-8 7-11 8-9 9-10 10-11 12-13 12-16 13-14 14-15 15-16 17-18 17-21 18-19 19-20 20-21 22-23 22-26 23-24 24-25 25-26 27-28 27-31 28-29 29-30 30-31 32-33 32-36 33-34 34-35 35-36 37-38 37-41 38-39 39-40 40-41 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 1 : 7 : 12 : 17 : 22 : 27 : 32 : 37 : G1:[\*1],[\*2],[\*3],[\*4],[\*5],[\*6],[\*7] G2:H,CH3 Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom 52:CLASS 53:CLASS 55:CLASS 56:CLASS L23 STRUCTURE UPLOADED => que L23 AND L21 NOT L22 L24 QUE L23 AND L21 NOT L22 => d 124L24 HAS NO ANSWERS L21 SCR 1839 L22 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047 L23 Structure diagram not available for display Structure attributes must be viewed using STN Express query preparation. L24 QUE L23 AND L21 NOT L22 => s 124 sss sam SAMPLE SEARCH INITIATED 14:55:44 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 275 TO ITERATE 100.0% PROCESSED 275 ITERATIONS 9 ANSWERS SEARCH TIME: 00.00.01

\*\*COMPLETE\*\*

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH

PROJECTED ITERATIONS: PROJECTED ANSWERS:

4506 TO 6494

9 TO 359

9 SEA SSS SAM L23 AND L21 NOT L22

=> s 124 sss ful

FULL SEARCH INITIATED 14:55:57 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 5700 TO ITERATE

100.0% PROCESSED 5700 ITERATIONS

359 ANSWERS

SEARCH TIME: 00.00.01

L26

359 SEA SSS FUL L23 AND L21 NOT L22

=> => s 126

L27

19 L26

=> d 127 1-19 bib, ab, hitstr

```
ANSWER 1 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
L27
     2004:701815 CAPLUS
AN
     141:185104
DN
     Compositions, combinations, and methods for treating cardiovascular
ΤI
     conditions and other associated conditions
     Rudolph, Amy E.; Rocha, Ricardo; Carretero, Oscar
IN
PA
SO
     U.S. Pat. Appl. Publ., 107 pp.
     CODEN: USXXCO
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                           KIND
                                   DATE
                                                APPLICATION NO.
                                                                          DATE
     _____
                           ____
                                   _____
                                                 _____
                                                                          _____
     US 2004167197
                                   20040826
                                                US 2004-788220
                            A1
                                                                          20040226
PΙ
                            A2
                                  20040910
                                                WO 2004-US5609
                                                                          20040226
     WO 2004075852
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              CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,
              ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,
              IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,
              LK, LR, LS, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MX,
              MZ, MZ, NA, NI
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              BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
              MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
              GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN,
              GQ, GW, ML, MR, NE, SN, TD, TG
     WO 2004075857
                                   20040910
                                                WO 2004-US5799
                                                                          20040226
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              BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,
              ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,
              IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,
              LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,
              MZ, MZ, NA, NI
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2003-450529P
                            Р
                                  20030226
     This invention is directed generally to a method for treating a pathol.
     condition (particularly a cardiovascular condition (e.g., hypertension or
     heart failure) or a condition associated with a cardiovascular condition)
     using a p38-kinase inhibitor (e.g., a p38-kinase-inhibiting substituted
     pyrazole), and specifically a combination comprising a p38-kinase
     inhibitor with an angiotensin-converting-enzyme inhibitor (or "ACE
     inhibitor") for treating a cardiovascular condition. This invention also
     is directed generally to combinations comprising a p38-kinase inhibitor,
     and specifically to combinations comprising a p38-kinase inhibitor with an
     angiotensin-converting-enzyme inhibitor. This invention is further
     directed generally to pharmaceutical compns. comprising a p38-kinase
     inhibitor, and more specifically to compns. comprising the above-described
     combinations.
IT
     740845-73-2
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
```

(Biological study); USES (Uses)

(compns., combinations, and methods for treating cardiovascular conditions and other associated conditions)

RN 740845-73-2 CAPLUS

CN Methanesulfonamide, N-[4-[[4-[1-(1,3-dihydro-5-isobenzofuranyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

```
ANSWER 2 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
     2004:287841 CAPLUS
AN
DN
     140:321349
     Preparation of pyrazole derivatives as p38 MAP kinase inhibitors and
ΤI
     cytokine production inhibitors
     Haqihara, Masahiko; Shibakawa, Nobuhiko; Nishihara, Masamichi; Shirai,
IN
     Toshiyuki; Shimizu, Motohisa; Hasegawa, Tohru; Tokunaga, Yasunori; Suzuki,
     Naoto; Wada, Yukinori
PA
     Ube Industries, Ltd., Japan
SO
     PCT Int. Appl., 276 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LΑ
FAN.CNT 1
                                 DATE
                                              APPLICATION NO.
                                                                      DATE
     PATENT NO.
                          KIND
     _____
                                20040408
                                              WO 2003-JP12254
                                                                      20030925
PΙ
     WO 2004029043
                           A1
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                 20020925
PRAI JP 2002-279385
                           Α
     MARPAT 140:321349
OS
     The title compds. I [R1 is optionally substituted phenyl; R2 is H,
AΒ
     halogeno, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, or
     substituted amino; Q is CH or N; R3 is H, alkyl, or amino; and R4 is a
     group represented by the general formula Q1, etc.; R7 is H or alkyl; R8 is
     H, alkyl, or substituted amino; R9 is H or alkyl] are prepared Compds. of
     this invention in vitro showed IC50 values of 0.2 nM to 8.8 nM against p38
     MAP kinase. Formulations are given.
IT
     677318-82-0P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
         (preparation of pyrazole derivs. as p38 MAP kinase inhibitors and cytokine
        production inhibitors)
     677318-82-0 CAPLUS
RN
     2-Pyridinamine, 4-[3-(4-fluorophenyl)-1-[3-(trifluoromethyl)-1,2,4-
CN
```

triazolo[4,3-b]pyridazin-6-yl]-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

```
IT
     677317-98-5P 677318-04-6P 677318-06-8P
     677318-08-0P 677318-10-4P 677318-12-6P
     677318-14-8P 677318-16-0P 677318-18-2P
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     677318-34-2P 677318-36-4P 677318-38-6P
     677318-41-1P 677318-52-4P 677318-60-4P
     677318-62-6P 677318-64-8P 677318-66-0P
     677318-68-2P 677318-70-6P 677318-72-8P
     677318-74-0P 677318-76-2P 677318-78-4P
     677318-80-8P 677318-84-2P 677318-86-4P
     677318-92-2P 677318-94-4P 677318-98-8P
     677319-00-5P 677319-02-7P 677319-04-9P
     677319-08-3P 677319-18-5P 677319-20-9P
     677319-22-1P 677319-24-3P 677319-26-5P
     677319-28-7P 677319-30-1P 677319-34-5P
     677319-36-7P 677319-38-9P 677319-40-3P
     677319-42-5P 677319-44-7P 677319-46-9P
     677319-48-1P 677319-50-5P 677319-52-7P
     677319-54-9P 677319-56-1P 677319-58-3P
     677319-60-7P 677319-62-9P 677319-64-1P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of pyrazole derivs. as p38 MAP kinase inhibitors and cytokine
        production inhibitors)
RN
     677317-98-5 CAPLUS
     3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-phenyl-1H-pyrazol-1-yl]-
CN
     (9CI) (CA INDEX NAME)
```

RN 677318-04-6 CAPLUS
CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1Hpyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 677318-06-8 CAPLUS

CN 3(2H)-Pyridazinone, 6-[3-(4-fluorophenyl)-4-[2-(methylamino)-4-pyridinyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 677318-08-0 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[2-(ethylamino)-4-pyridinyl]-3-(4-fluorophenyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 677318-10-4 CAPLUS

CN 3(2H)-Pyridazinone, 6-[3-(4-fluorophenyl)-4-[2-[(2,2,2-trifluoroethyl)amino]-4-pyridinyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 677318-12-6 CAPLUS

CN Acetamide, N-[4-[1-(1,6-dihydro-6-oxo-3-pyridazinyl)-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677318-14-8 CAPLUS

CN Carbamic acid, [4-[1-(1,6-dihydro-6-oxo-3-pyridazinyl)-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 677318-16-0 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-5-methyl- (9CI) (CA INDEX NAME)

RN 677318-18-2 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-4-methyl- (9CI) (CA INDEX NAME)

RN 677318-20-6 CAPLUS

CN 3(2H)-Pyridazinone, 4-amino-6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 677318-22-8 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

RN 677318-26-2 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(3-fluorophenyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 677318-28-4 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(4-chlorophenyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 677318-30-8 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(3,4-difluorophenyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 677318-32-0 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-[3-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]-(9CI) (CA INDEX NAME)

RN 677318-34-2 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(2-fluorophenyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 677318-36-4 CAPLUS

CN 3(2H)-Pyridazinone, 6-[3-(2-fluorophenyl)-4-[2-[(2,2,2-trifluoroethyl)amino]-4-pyridinyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 677318-38-6 CAPLUS

CN Acetamide, N-[4-[1-(1,6-dihydro-6-oxo-3-pyridazinyl)-3-(2-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677318-41-1 CAPLUS

CN Cyclopropanecarboxamide, N-[4-[1-(1,6-dihydro-6-oxo-3-pyridazinyl)-3-(2-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677318-52-4 CAPLUS

CN 2-Pyridinamine, 4-[3-phenyl-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 677318-60-4 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 677318-62-6 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-N-methyl- (9CI) (CA INDEX NAMÉ)

RN 677318-64-8 CAPLUS

CN 2-Pyridinamine, N-ethyl-4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 677318-66-0 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 677318-68-2 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

RN 677318-70-6 CAPLUS

CN Acetamide, N-[4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677318-72-8 CAPLUS

CN Carbamic acid, [4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 677318-74-0 CAPLUS

CN Methanesulfonamide, N-[4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677318-76-2 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

RN 677318-78-4 CAPLUS

CN Benzamide, N-[4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677318-80-8 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1-(3-methyl-1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & Me \\ \hline N & N & N \\ \hline N & N \\ \hline H_2N & N \\ \end{array}$$

RN 677318-84-2 CAPLUS

CN 1,2,4-Triazolo[4,3-b]pyridazin-3-amine, 6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 677318-86-4 CAPLUS

CN Acetamide, N-[6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-1,2,4-triazolo[4,3-b]pyridazin-3-yl]-(9CI) (CA INDEX NAME)

RN 677318-92-2 CAPLUS

CN 2-Pyridinamine, 4-[3-(3-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 677318-94-4 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 677318-98-8 CAPLUS

CN 2-Pyridinamine, 4-[3-(3,4-difluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 677319-00-5 CAPLUS

CN 2-Pyridinamine, 4-[1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-3-[3-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 677319-02-7 CAPLUS

CN 2-Pyridinamine, 4-[3-(2-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 677319-04-9 CAPLUS

CN Cyclopropanecarboxamide, N-[4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677319-08-3 CAPLUS

CN Cyclopentanecarboxamide, N-[4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677319-18-5 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-phenyl-1H-pyrazol-1-yl]-4,5-dihydro-(9CI) (CA INDEX NAME)

RN 677319-20-9 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-4,5-dihydro-(9CI) (CA INDEX NAME)

RN 677319-22-1 CAPLUS

CN 3(2H)-Pyridazinone, 6-[3-(4-fluorophenyl)-4-[2-[(2,2,2-trifluoroethyl)amino]-4-pyridinyl]-1H-pyrazol-1-yl]-4,5-dihydro- (9CI) (CA INDEX NAME)

RN 677319-24-3 CAPLUS

CN Acetamide, N-[4-[3-(4-fluorophenyl)-1-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677319-26-5 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-4,5-dihydro-5-methyl- (9CI) (CA INDEX NAME)

RN 677319-28-7 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)

RN 677319-30-1 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-4,5-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 677319-34-5 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(3-fluorophenyl)-1H-pyrazol-1-yl]-4,5-dihydro-(9CI) (CA INDEX NAME)

RN 677319-36-7 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(4-chlorophenyl)-1H-pyrazol-1-yl]-4,5-dihydro- (9CI) (CA INDEX NAME)

RN 677319-38-9 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(3,4-difluorophenyl)-1H-pyrazol-1-yl]-4,5-dihydro- (9CI) (CA INDEX NAME)

RN 677319-40-3 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-[3-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]-4,5-dihydro- (9CI) (CA INDEX NAME)

RN 677319-42-5 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-4,5-dihydro-(9CI) (CA INDEX NAME)

RN 677319-44-7 CAPLUS

CN 3(2H)-Pyridazinone, 6-[3-(2-fluorophenyl)-4-[2-[(2,2,2-trifluoroethyl)amino]-4-pyridinyl]-1H-pyrazol-1-yl]-4,5-dihydro- (9CI) (CA INDEX NAME)

RN 677319-46-9 CAPLUS

CN Acetamide, N-[4-[3-(2-fluorophenyl)-1-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677319-48-1 CAPLUS

CN Cyclopropanecarboxamide, N-[4-[3-phenyl-1-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677319-50-5 CAPLUS

CN Cyclopropanecarboxamide, N-[4-[3-(4-fluorophenyl)-1-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677319-52-7 CAPLUS

CN Cyclopropanecarboxamide, N-[4-[3-(2-fluorophenyl)-1-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 677319-54-9 CAPLUS

CN 2-Pyridinamine, 4-[1-(7,8-dihydro-1,2,4-triazolo[4,3-b]pyridazin-6-yl)-3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 677319-56-1 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-, monobenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 677318-04-6 CMF C18 H13 F N6 O

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 677319-58-3 CAPLUS
CN 3(2H)-Pyridazinone, 6-[3-(4-fluorophenyl)-4-[2-[(2,2,2-trifluoroethyl)amino]-4-pyridinyl]-1H-pyrazol-1-yl]-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 677318-10-4 CMF C20 H14 F4 N6 O

CM 2

CRN 7664-93-9

CMF H2 O4 S

RN 677319-60-7 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 677318-60-4 CMF C19 H13 F N8

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 677319-62-9 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-(2-amino-4-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-4,5-dihydro-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 677319-20-9 CMF C18 H15 F N6 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 677319-64-1 CAPLUS

CN Acetamide, N-[4-[3-(4-fluorophenyl)-1-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

## ● HCl

IT 677319-72-1P 677319-74-3P 677319-84-5P
677320-01-3P 677320-03-5P 677320-07-9P
677320-09-1P 677320-13-7P 677320-15-9P
677320-21-7P 677320-23-9P 677320-27-3P
677320-29-5P 677320-35-3P 677320-37-5P
677320-43-3P 677320-45-5P 677320-49-9P
677320-51-3P 677320-53-5P 677320-55-7P
677320-57-9P 677320-59-1P 677320-61-5P
677320-63-7P 677320-66-0P 677320-74-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazole derivs. as p38 MAP kinase inhibitors and cytokine production inhibitors)

RN 677319-72-1 CAPLUS

CN Carbamic acid, [4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677319-74-3 CAPLUS

CN Carbamic acid, [4-[1-(6-chloro-3-pyridazinyl)-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677319-84-5 CAPLUS

CN Carbamic acid, [4-[3-(4-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-01-3 CAPLUS

CN Carbamic acid, [4-(3-phenyl-1H-pyrazol-4-yl)-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-03-5 CAPLUS

CN Carbamic acid, [4-[1-(6-chloro-3-pyridinyl)-3-phenyl-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-07-9 CAPLUS

CN Carbamic acid, [4-[3-(3-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-09-1 CAPLUS

CN Carbamic acid, [4-[1-(6-chloro-3-pyridinyl)-3-(3-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-13-7 CAPLUS

CN Carbamic acid, [4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-15-9 CAPLUS

CN Carbamic acid, [4-[3-(4-chlorophenyl)-1-(6-chloro-3-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-21-7 CAPLUS

CN Carbamic acid, [4-[3-(3,4-difluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-23-9 CAPLUS

CN Carbamic acid, [4-[1-(6-chloro-3-pyridinyl)-3-(3,4-difluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-27-3 CAPLUS

CN Carbamic acid, [4-[3-[3-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-29-5 CAPLUS

CN Carbamic acid, [4-[1-(6-chloro-3-pyridinyl)-3-[3-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-35-3 CAPLUS

CN Carbamic acid, [4-[3-(2-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-37-5 CAPLUS

CN Carbamic acid, [4-[1-(6-chloro-3-pyridinyl)-3-(2-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-43-3 CAPLUS

CN Carbamic acid, [4-[1-(6-chloro-4-methyl-3-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-45-5 CAPLUS

CN Carbamic acid, [4-[1-(6-chloro-5-methyl-3-pyridinyl)-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-49-9 CAPLUS

CN Carbamic acid, [4-[3-phenyl-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-51-3 CAPLUS

CN Carbamic acid, [4-[3-(3-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-53-5 CAPLUS

CN Carbamic acid, [4-[3-(4-chlorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-55-7 CAPLUS

CN Carbamic acid, [4-[3-(3,4-difluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-57-9 CAPLUS

CN Carbamic acid, [4-[1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-3-[3-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-59-1 CAPLUS

CN Carbamic acid, [4-[3-(2-fluorophenyl)-1-(1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-61-5 CAPLUS

CN Carbamic acid, [4-[3-(4-fluorophenyl)-1-(3-methyl-1,2,4-triazolo[4,3-b]pyridazin-6-yl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-63-7 CAPLUS

CN Carbamic acid, [4-[3-(4-fluorophenyl)-1-[3-(trifluoromethyl)-1,2,4-triazolo[4,3-b]pyridazin-6-yl]-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 677320-66-0 CAPLUS

CN Carbamic acid, [4-[1-(3-amino-1,2,4-triazolo[4,3-b]pyridazin-6-yl)-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester

## (9CI) (CA INDEX NAME)

RN 677320-74-0 CAPLUS

CN Carbamic acid, [4-[1-[3-(acetylamino)-1,2,4-triazolo[4,3-b]pyridazin-6-yl]-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 3 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
L27
     2004:177876 CAPLUS
AN
     140:235698
DN
     Preparation of 4-[4-(4-fluorophenyl)-isoxazol-3-yl]pyridines as
ΤI
     immunomodulators
     Laufer, Stefan; Striegel, Hans-Guenter; Tollmann, Karola; Albrecht,
IN
     Wolfgang
PA
     Merckle G.m.b.H. Chem.-Pharm. Fabrik, Germany
SO
     Ger. Offen., 22 pp.
     CODEN: GWXXBX
DT
     Patent
LΑ
     German
FAN.CNT 1
                         KIND
     PATENT NO.
                                            APPLICATION NO.
                                                                    DATE
                                            _____
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                         ____
                                20040304
                                            DE 2002-10237883
                                                                    20020819
PI
     DE 10237883
                          Α1
                                                                    20030819
                                20040304
                                           WO 2003-EP9191
     WO 2004017968
                          Α1
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             CO, CR, CU, CZ, DE, DK, DM,/DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
10237883 A 20020819
PRAI DE 2002-10237883
     MARPAT 140:235698
OS
     Title compds. I [R1 = H, alkyl, aromatic; R2, R3 = aromatic heterocyclic (sic)]
AΒ
     and their pharmaceutically acceptable salts were prepared For example,
     condensation of oxime II, e.g., prepared from 4-fluorophenylacetic acid in
     2-steps, and acetic acid Et ester afforded isoxazole III. In p38 MAP
     kinase inhibition assays, 11-examples of compds. I exhibited IC50 values
     ranging from 0.4-6.75 \times 10-5 \text{ M}, e.g., the IC50 value of isoxazole III was
     6.75 \times 10^{-5} M. Compds. I are claimed to possess immune modulating and/or
     cytokine release inhibiting effects.
     666861-63-8P, N-[4-[4-(4-Fluorophenyl)-3-pyridin-4-ylisoxazol-5-
IT
     yl]pyridin-2-yl]acetamide
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of fluorophenylisoxazolpyridines as immunomodulators)
RN
     666861-63-8 CAPLUS
     Acetamide, N-[4-[4-(4-fluorophenyl)-3-(4-pyridinyl)-5-isoxazolyl]-2-
CN
     pyridinyl] - (9CI) (CA INDEX NAME)
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Common Jus.

L27 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:874966 CAPLUS

DN 139:364918

TI Preparation of isoxazole derivatives as inhibitors of Src and other protein kinases

IN Harrington, Edmund

PA USA

SO U.S. Pat. Appl. Publ., 22 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI US 2003207873 PRAI US 2002-119890	A1 20031106 20020410	us 2002-119890 Abn	20020410
OS MARPAT 139:364918	~_/		

AB Isoxazole derivs. of formula I [X = alkylene, O, S, (substituted) NH, SO2, etc.; A = N, (substituted) CH; R = H, alkyl, aryl, etc.; R1 = H, alkyl, aryl, acyl, etc.; R2 = H, alkyl, CH2OH, CHO, CH2NH2, aryl, etc.] are prepared These compds. are inhibitors of protein kinase, particularly inhibitors of Src mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli. Thus, II was prepared from 3-(bis(methylthio)methylene)pentane-2,4-dione, DMF di-Me acetal and 3,5-dimethoxyphenyl guanidine. Many of the compds. tested for inhibition of Src had IC50 < 1 μM.

 IT
 473445-73-7P
 473445-74-8P
 473445-75-9P

 473445-76-0P
 473445-77-1P
 473445-78-2P

 473445-79-3P
 473445-88-4P
 473445-91-9P

 473445-97-5P
 473445-98-6P
 473446-00-3P

 473446-02-5P
 473446-03-6P
 473446-09-2P

 473446-11-6P
 473446-12-7P
 473446-77-4P

 473447-15-3P
 473447-17-5P
 473447-19-7P

 473447-21-1P
 473447-46-0P
 473447-47-1P

 473447-48-2P
 473447-49-3P
 473447-50-6P

473447-72-2P 473448-01-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoxazole derivs. as inhibitors of Src, Lck, and JNK3 protein kinases)

RN 473445-73-7 CAPLUS

CN Benzonitrile, 3-[[4-[5-ethyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473445-74-8 CAPLUS

CN Benzoic acid, 3-[[4-[5-ethyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473445-75-9 CAPLUS

CN 2-Pyridinamine, N-(3-fluorophenyl)-4-[5-methyl-3-(methylthio)-4-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 473445-76-0 CAPLUS

CN 2-Pyridinamine, N-(4-fluorophenyl)-4-[5-methyl-3-(methylthio)-4-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 473445-77-1 CAPLUS

CN Ethanone, 1-[4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 473445-78-2 CAPLUS

CN Methanone, [4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]phenyl]phenyl- (9CI) (CA INDEX NAME)

RN 473445-79-3 CAPLUS

CN Benzamide, 4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 473445-88-4 CAPLUS

CN 2-Pyridinamine, 4-[5-ethyl-3-(methylthio)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 473445-91-9 CAPLUS

CN Benzoic acid, 3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473445-97-5 CAPLUS

CN 2-Pyridinamine, N-(4-methoxyphenyl)-4-[5-methyl-3-(methylthio)-4-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 473445-98-6 CAPLUS

CN 2-Pyridinamine, N-(3-methoxyphenyl)-4-[5-methyl-3-(methylthio)-4-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 473446-00-3 CAPLUS

CN Benzoic acid, 3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 473446-02-5 CAPLUS

CN 2-Pyridinamine, 4-[5-methyl-3-(methylthio)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 473446-03-6 CAPLUS

CN Benzoic acid, 4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473446-09-2 CAPLUS

CN Benzoic acid, 4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 473446-11-6 CAPLUS

CN Benzonitrile, 3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473446-12-7 CAPLUS

CN Benzonitrile, 4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473446-77-4 CAPLUS

CN 1,4-Benzenediamine, N,N-dimethyl-N'-[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 473446-79-6 CAPLUS

CN 2-Pyridinamine, 4-[5-methyl-3-(methylthio)-4-isoxazolyl]-N-(3-nitrophenyl)-(9CI) (CA INDEX NAME)

RN 473446-81-0 CAPLUS

CN Acetamide, N-[3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 473446-83-2 CAPLUS

CN 1,3-Benzenediamine, N-[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 473447-15-3 CAPLUS

CN Benzenepropanoic acid, 3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{N} \\ \text{SMe} \\ \text{NH} \\ \text{NH} \\ \text{N} \end{array}$$

RN 473447-17-5 CAPLUS

CN Benzeneacetic acid, 3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473447-19-7 CAPLUS

CN Benzenemethanol, 3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473447-21-1 CAPLUS

CN L-Valine, N-[3-[3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]phenyl]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473447-23-3 CAPLUS

CN 2-Pyridinamine, 4-[5-methyl-3-(methylthio)-4-isoxazolyl]-N-3-pyridinyl-(9CI) (CA INDEX NAME)

RN 473447-25-5 CAPLUS

CN 2-Pyridinamine, N-(6-methoxy-3-pyridinyl)-4-[5-methyl-3-(methylthio)-4-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 473447-45-9 CAPLUS

CN 2-Pyridinamine, 4-[3-(methylthio)-5-(4-morpholinylmethyl)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 473447-46-0 CAPLUS

CN 2-Pyridinamine, 4-[5-[(dimethylamino)methyl]-3-(methylthio)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 473447-47-1 CAPLUS

CN 2-Pyridinamine, 4-[5-[(diethylamino)methyl]-3-(methylthio)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{N} \\ \text{SMe} \\ \text{Et}_2 \text{N} - \text{CH}_2 \\ \text{PhNH} \end{array}$$

RN 473447-48-2 CAPLUS

CN 2-Pyridinamine, 4-[5-[[methyl(phenylmethyl)amino]methyl]-3-(methylthio)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 473447-49-3 CAPLUS

CN Glycine, N-methyl-N-[[3-(methylthio)-4-[2-(phenylamino)-4-pyridinyl]-5-isoxazolyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 473447-50-6 CAPLUS

CN 2-Pyridinamine, 4-[3-(methylthio)-5-(1-piperazinylmethyl)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME).

RN 473447-72-2 CAPLUS

CN 2-Pyridinamine, 4-[3-(ethylamino)-5-methyl-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 473448-01-0 CAPLUS
CN 2-Pyridinamine, 4-[5-methyl-3-(methylsulfonyl)-4-isoxazolyl]-N-phenyl(9CI) (CA INDEX NAME)

L27 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:590836 CAPLUS

DN 139:149624

TI Preparation of 1,4-diarylpyrazole inhibitors of src and other protein kinases

IN Young, Choon Moon

PA USA

SO U.S. Pat. Appl. Publ., 35 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI US 2003144309 A1 20030731 US 2002-146984 20020516
PRAI US 2002-146984

OS MARPAT 139:149624

AB Title compds. I [G = XR, XAr; X = alkylidene wherein one or two non-adjacent methylene units of X are replaced by O, amino, S, CO, etc.; A = N, CR; R = H, aliphatic, etc.; Ar = (un)substituted 5-6 membered (un)saturated

monocyclic ring, etc.; R1 = TnR, TnAr; n = 0-1; T = CO, CO2, COCO, etc.; R2 = H, Ar, aliphatic; R3 = R, Ar] are prepared For instance, 3-(bis(methylsulfanyl)methylene)pentane-2,4-dione (preparation given) is condensed with (pyridin-2-yl)hydrazine to give 1-[5-methyl-3-(methylsulfanyl)-1-(pyridin-2-yl)-1H-pyrazole-4-yl]ethanone. This intermediate is reacted with DMFDMA (reflux) and the resulting  $\beta$ -amino enone condensed with N-(3-benzyloxyphenyl)guanidine to give II. Many of the compds. have Ki  $\leq$  1  $\mu$ M for src kinase. I are inhibitors of protein kinase, particularly inhibitors of src mammalian protein kinase involved in cell proliferation, cell death in response to extracellular stimuli.

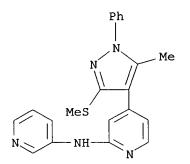
IT 475574-61-9P 475574-62-0P 475574-81-3P 475574-82-4P 475574-83-5P 475574-84-6P 475574-85-7P 475574-86-8P 475575-06-5P 475575-23-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-phenyl-4-pyrimidinyl-substituted pyrazole inhibitors of src and other protein kinases)

RN 475574-61-9 CAPLUS

CN 2-Pyridinamine, 4-[5-methyl-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 475574-62-0 CAPLUS

CN 2-Pyridinamine, N-(6-methoxy-3-pyridinyl)-4-[5-methyl-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 475574-81-3 CAPLUS

CN 2-Pyridinamine, 4-[3-(methylthio)-5-(4-morpholinylmethyl)-1-phenyl-1H-pyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 475574-82-4 CAPLUS

CN 2-Pyridinamine, 4-[5-[(dimethylamino)methyl]-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 475574-83-5 CAPLUS

CN 2-Pyridinamine, 4-[5-[(diethylamino)methyl]-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 475574-84-6 CAPLUS

CN 2-Pyridinamine, 4-[5-[[methyl(phenylmethyl)amino]methyl]-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} \\ & \text{N} \\ & \text{CH}_2-\text{N-CH}_2-\text{Ph} \\ \\ & \text{MeS} \\ & \text{PhNH} \\ \end{array}$$

RN 475574-85-7 CAPLUS

CN Glycine, N-methyl-N-[[3-(methylthio)-1-phenyl-4-[2-(phenylamino)-4-pyridinyl]-1H-pyrazol-5-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \text{Me} & \text{O} \\ & & \text{N} & \text{He} \\ & & \text{N} & \text{CH}_2-\text{N}-\text{CH}_2-\text{C}-\text{OMe} \\ \\ & \text{MeS} & \\ & \text{PhNH} & \text{N} \end{array}$$

RN 475574-86-8 CAPLUS

CN 2-Pyridinamine, 4-[3-(methylthio)-1-phenyl-5-(1-piperazinylmethyl)-1H-pyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 475575-06-5 CAPLUS

CN 2-Pyridinamine, 4-[3-(ethylamino)-5-methyl-1-phenyl-1H-pyrazol-4-yl],-N-phenyl- (9CI) (CA INDEX NAME)

RN 475575-23-6 CAPLUS

CN 2-Pyridinamine, 4-[5-methyl-3-(methylsulfonyl)-1-phenyl-1H-pyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

L27

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ANSWER 6 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
AN
     2003:150531 CAPLUS
DN
     138:187765
TI
     Preparation of heteroarylpyrazoles as p38 kinase inhibitors
IN
     Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce
     Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew
     J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle,
     Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne;
     Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun
     Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey;
     Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu,
PA
     G.D. Searle and Co., USA
     U.S., 415 pp., Cont.-in-part of U.S. Ser. No. 196,623.
SO
     CODEN: USXXAM
DT
     Patent
LΑ
     English
FAN.CNT 4
     PATENT NO.
                         KIND
                                DATE
                                           APPLICATION NO.
                                                                   DATE
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     US 6525059
PΙ
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                                20030225
                                            US 2000-513351
                                                                   20000224
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                                                                   19981120
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                         A1
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                                            WO 1999-US26007
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             SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 1998-196623
                                19981120
                         A2
     WO 1999-US26007
                          Α1
                                19991117
     US 1997-47570P
                                19970522
                          Ρ
     US 1998-83670
                          A2
                                19980522
OS
    MARPAT 138:187765
AB
     Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2
     = (un)substituted piperidinyl; R3 = (un)substituted pyrimidinyl; R4 =
     (un) substituted Ph; and pharmaceutically acceptable salts or tautomers
     thereof] were prepared by solution phase and solid phase parallel array
     reactions of ketones with hydrazines. Thus, R3CH2COMe (R3 = 4-pyridinyl)
     was condensed with 3,4-F(MeO)C6H3CHO to give the butenone (80%), which was
     cyclocondensed with TsNHNH2 to afford the title compound II (20.7%). The
     latter inhibited human p38 kinase activity in vitro with IC50 of 4.6 \mu M
     and inhibited tumor necrosis factor \alpha (TNF\alpha) and interleukin
     1\beta (IL-1\beta) release from human peripheral blood mononuclear cells
     following stimulation with lipopolysaccharide with IC50 of 0.5 µM.
     Thus, I are useful for the treatment of inflammation, arthritis, asthma,
     and other disorders mediated by p38 kinase and TNF\alpha.
IT
     216504-83-5P 216504-84-6P 216504-85-7P
     216504-86-8P 216504-87-9P 216504-88-0P
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216506-96-6P 216506-98-8P 216506-99-9P 216507-01-6P 216507-03-8P 216507-04-9P 216507-06-1P 271574-67-5P 271574-81-3P 271574-82-4P 271574-83-5P 271574-84-6P 271574-85-7P 271574-86-8P 271574-87-9P 271574-88-0P 271574-89-1P 271574-90-4P 271574-91-5P 271574-93-7P 271574-96-0P 271574-97-1P 271574-98-2P 271575-03-2P 271575-04-3P 271575-05-4P 271575-07-6P 271575-09-8P 271575-10-1P 271575-11-2P 271575-12-3P 271575-21-4P 271575-22-5P RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses) (p38 kinase inhibitor; preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones) 216504-83-5 CAPLUS 2-Pyridinamine, 4-[3-(3-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

C1 NH2

RN

CN

RN 216504-84-6 CAPLUS CN 2-Pyridinamine, 4-[3-methyl-5-(3-methylphenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216504-85-7 CAPLUS CN 2-Pyridinamine, 4-[3-methyl-5-(2-methylphenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216504-86-8 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 216504-87-9 CAPLUS

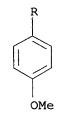
CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 216504-88-0 CAPLUS CN 2-Pyridinamine, 4-[3-(4-methoxyphenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

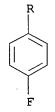


PAGE 2-A

RN 216505-92-9 CAPLUS

CN 1,3-Propanediamine, N-[5-(4-fluorophenyl)-4-[2-[(phenylmethyl)amino]-4-pyridinyl]-1H-pyrazol-3-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

## ●3 HCl

RN 216506-33-1 CAPLUS

CN 1-Butanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 216506-39-7 CAPLUS CN 2(1H)-Pyridinone, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-, hydrazone (9CI) (CA INDEX NAME)

RN 216506-40-0 CAPLUS

CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-N-(phenylmethyl)-(9CI) (CA INDEX NAME)

RN 216506-41-1 CAPLUS

CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-N-(2-phenylethyl)-(9CI) (CA INDEX NAME)

RN 216506-42-2 CAPLUS CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-N-ethyl- (9CI) (CA INDEX NAME)

RN 216506-64-8 CAPLUS
CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-N-[(2S)-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 216506-65-9 CAPLUS
CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 216506-66-0 CAPLUS

CN 2-Pyridinemethanamine, N-[4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216506-67-1 CAPLUS

CN 3-Pyridinemethanamine, N-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216506-72-8 CAPLUS

CN 2-Pyridinamine, N-[1-(4-fluorophenyl)ethyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216506-73-9 CAPLUS

CN 2-Pyridinamine, N-[(3-fluorophenyl)methyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216506-82-0 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 216506-83-1 CAPLUS

CN 1,2-Ethanediamine, N'-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N,N-dimethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ \end{array}$$

$$\begin{array}{c} NH-CH_2-CH_2-NMe_2 \\ \end{array}$$

RN 216506-85-3 CAPLUS

CN 4-Morpholineethanamine, N-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216506-87-5 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[2-(1H-imidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H \\
N \\
N \\
N
\end{array}$$

$$\begin{array}{c|c}
N \\
N \\
N
\end{array}$$

RN 216506-93-3 CAPLUS

CN 2-Pyridinamine, 4-[1-[2-(dimethylamino)ethyl]-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 216506-95-5 CAPLUS

CN 2-Pyridinamine, N-[(4-fluorophenyl)methyl]-4-[3-(4-fluorophenyl)-1-[2-(4-morpholinyl)ethyl]-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216506-96-6 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-4-piperidinyl-(9CI) (CA INDEX NAME)

RN 216506-98-8 CAPLUS

CN 2-Pyridinamine, 4-[1-[2-(diethylamino)ethyl]-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 216506-99-9 CAPLUS CN Ethanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

NH-CH<sub>2</sub>-CH<sub>2</sub>-OH
$$N$$
 $N$ 

RN 216507-01-6 CAPLUS CN Ethanol, 2-[[4-[3-(4-fluorophenyl)-1-methyl-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

Me N HO-
$$CH_2$$
- $CH_2$ - $NH$ 

RN 216507-03-8 CAPLUS CN 1-Propanol, 3-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 216507-04-9 CAPLUS
CN 1H-Pyrazole-1-ethanol, 3-(4-fluorophenyl)-4-[2-[[(4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216507-06-1 CAPLUS
CN 1H-Pyrazole-1-ethanol, 5-(4-fluorophenyl)-4-[2-[[(4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)

$$HO-CH_2-CH_2$$
 $N$ 
 $NH-CH_2$ 
 $N$ 

RN 271574-67-5 CAPLUS
CN Carbamic acid, [3-[[5-(4-chlorophenyl)-4-[2-[(phenylmethyl)amino]-4-pyridinyl]-1H-pyrazol-3-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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PAGE 2-A

RN 271574-81-3 CAPLUS

1-Piperazinepropanamine, N-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-4-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 271574-80-2 CMF C22 H27 F N6

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 271574-82-4 CAPLUS

CN 1,2-Propanediol, 3-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{N} \\ \text{NH-CH}_2\text{-CH-CH}_2\text{-OH} \\ \\ \text{N} \\ \end{array}$$

RN 271574-83-5 CAPLUS

CN 1,3-Propanediamine, N,N,N'-triethyl-N'-[2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H & \text{NH-CH}_2-\text{CH}_2-\text{N-(CH}_2)_3-\text{NEt}_2\\
N & \\
N & \\
\end{array}$$

RN 271574-84-6 CAPLUS

CN 1,3-Propanediamine, N-[2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]ethyl]-N,N',N'-trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-CH}_2\text{-CH}_2\text{-N-(CH}_2)} \\ \text{N} \\ \text{N} \\ \text{N} \end{array}$$

RN 271574-85-7 CAPLUS

CN 2-Pyridinamine, N-(2-[1,4'-bipiperidin]-1'-ylethyl)-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H \\
NH-CH_2-CH_2-N
\end{array}$$

RN 271574-86-8 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 271574-87-9 CAPLUS

CN 2-Pyridinamine, N-[(1-ethyl-4-piperidinyl)methyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 271574-88-0 CAPLUS

1,2-Ethanediamine, N2,N2-diethyl-N1-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-CN yl]-2-pyridinyl]-1-phenyl- (9CI) (CA INDEX NAME)

RN

271574-89-1 CAPLUS 1-Pentanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN 271574-90-4 CAPLUS

CN 1-Butanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

RN 271574-91-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 271574-93-7 CAPLUS

CN 2-Pyridinamine, N-[2-(1-ethyl-2-piperidinyl)ethyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 271574-96-0 CAPLUS

CN Benzenepropanol,  $\beta$ -[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271574-97-1 CAPLUS

CN Benzeneethanol,  $\beta$ -[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ( $\beta$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271574-98-2 CAPLUS

CN Benzenepropanol,  $\beta$ -[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ( $\beta$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271575-03-2 CAPLUS
CN 2-Propanol, 1-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino], (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271575-04-3 CAPLUS
CN 1,4-Pentanediamine, N4-[4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N1,N1-diethyl- (9CI) (CA INDEX NAME)

RN 271575-05-4 CAPLUS CN 2-Propanol, 1-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271575-07-6 CAPLUS
CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[2-(2-methyl-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 271575-09-8 CAPLUS

CN 1,2-Ethanediamine, N,N-diethyl-N'-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 271575-10-1 CAPLUS
CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyridinamine, 4-[3-(4-fluoroph

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 271575-11-2 CAPLUS

CN Benzeneethanol,  $\beta$ -[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

271575-12-3 CAPLUS RN

1,4-Pentanediamine, N1,N1-diethyl-N4-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-CN yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

271575-21-4 CAPLUS Cyclohexanol, 4-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2pyridinyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 271575-22-5 CAPLUS
CN Cyclohexanone, 4-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RE.CNT 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 7 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
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AN
DN
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     Preparation of heteroarylpyrazoles as p38 kinase inhibitors
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     Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew
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     Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne;
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     Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu,
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     G.D. Searle and Co., USA
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US 2002-114297 A3 20020402

OS MARPAT 138:137307

AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = (un)substituted piperidinyl or piperazinyl; R3 = (un)substituted pyrimidinyl; R4 = (un)substituted Ph; and pharmaceutically acceptable salts or tautomers thereof] were prepared by solution phase and solid phase parallel array reactions of ketones with hydrazines. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO to give the butenone (80%), which was cyclocondensed with TsNHNH2 to afford the title compound II (20.7%). The latter inhibited human p38 kinase activity in vitro with IC50 of 4.6 μM and inhibited tumor necrosis factor α (TNFα) and interleukin 1β (IL-1β) release from human peripheral blood mononuclear cells following stimulation with lipopolysaccharide with IC50 of 0.5 μM. Thus, I are useful for the treatment of inflammation, arthritis, asthma, and other disorders mediated by p38 kinase and TNFα.

TT 216504-83-5P 216504-84-6P 216504-85-7P 216504-86-8P 216504-87-9P 216504-88-0P 216505-92-9P 216506-33-1P 216506-39-7P 216506-40-0P 216506-41-1P 216506-42-2P 216506-64-8P 216506-65-9P 216506-66-0P 216506-67-1P 216506-72-8P 216506-73-9P 216506-82-0P 216506-83-1P 216506-85-3P 216506-87-5P 216506-93-3P 216506-95-5P 216506-96-6P 216506-98-8P 216506-99-9P 216507-01-6P 216507-03-8P 216507-04-9P 216507-06-1P 271574-67-5P 271574-81-3P 271574-82-4P 271574-83-5P 271574-84-6P 271574-85-7P 271574-86-8P 271574-87-9P 271574-88-0P 271574-89-1P 271574-90-4P 271574-91-5P 271574-93-7P 271574-96-0P 271574-97-1P 271574-98-2P 271575-03-2P 271575-04-3P 271575-05-4P 271575-07-6P 271575-09-8P 271575-10-1P 271575-11-2P 271575-12-3P 271575-21-4P 271575-22-5P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(p38 kinase inhibitor; preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

RN 216504-83-5 CAPLUS

CN

2-Pyridinamine, 4-[3-(3-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216504-84-6 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-5-(3-methylphenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216504-85-7 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-5-(2-methylphenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216504-86-8 CAPLUS

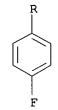
CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 216504-87-9 CAPLUS CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

RN 216504-88-0 CAPLUS CN 2-Pyridinamine, 4-[3-(4-methoxyphenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 216505-92-9 CAPLUS
CN 1,3-Propanediamine, N-[5-(4-fluorophenyl)-4-[2-[(phenylmethyl)amino]-4-pyridinyl]-1H-pyrazol-3-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

●3 HCl

RN 216506-33-1 CAPLUS CN 1-Butanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 216506-39-7 CAPLUS CN 2(1H)-Pyridinone, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-, hydrazone (9CI) (CA INDEX NAME)

RN 216506-40-0 CAPLUS

CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-N-(phenylmethyl)-(9CI) (CA INDEX NAME)

RN 216506-41-1 CAPLUS

CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-N-(2-phenylethyl)-(9CI) (CA INDEX NAME)

RN 216506-42-2 CAPLUS

CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-N-ethyl- (9CI) (CA INDEX NAME)

RN 216506-64-8 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-N-[(2S)-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 216506-65-9 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 216506-66-0 CAPLUS

CN 2-Pyridinemethanamine, N-[4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216506-67-1 CAPLUS

CN 3-Pyridinemethanamine, N-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216506-72-8 CAPLUS

CN 2-Pyridinamine, N-[1-(4-fluorophenyl)ethyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216506-73-9 CAPLUS

CN 2-Pyridinamine, N-[(3-fluorophenyl)methyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216506-82-0 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 216506-83-1 CAPLUS

CN 1,2-Ethanediamine, N'-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 216506-85-3 CAPLUS

CN 4-Morpholineethanamine, N-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

$$\bigcap_{O} N - CH_2 - CH_2 - NH - \bigcap_{N} N$$

RN 216506-87-5 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[2-(1H-imidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
H \\
NH-CH_2-CH_2-N
\end{array}$$
N

RN 216506-93-3 CAPLUS

CN 2-Pyridinamine, 4-[1-[2-(dimethylamino)ethyl]-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 216506-95-5 CAPLUS

CN 2-Pyridinamine, N-[(4-fluorophenyl)methyl]-4-[3-(4-fluorophenyl)-1-[2-(4-morpholinyl)ethyl]-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216506-96-6 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-4-piperidinyl-(9CI) (CA INDEX NAME)

RN 216506-98-8 CAPLUS

CN 2-Pyridinamine, 4-[1-[2-(diethylamino)ethyl]-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 216506-99-9 CAPLUS

CN Ethanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 216507-01-6 CAPLUS
CN Ethanol, 2-[[4-[3-(4-fluorophenyl)-1-methyl-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 216507-03-8 CAPLUS CN 1-Propanol, 3-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 216507-04-9 CAPLUS
CN 1H-Pyrazole-1-ethanol, 3-(4-fluorophenyl)-4-[2-[[(4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216507-06-1 CAPLUS
CN 1H-Pyrazole-1-ethanol, 5-(4-fluorophenyl)-4-[2-[[(4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 271574-67-5 CAPLUS

CN Carbamic acid, [3-[[5-(4-chlorophenyl)-4-[2-[(phenylmethyl)amino]-4-pyridinyl]-1H-pyrazol-3-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

NH- (CH<sub>2</sub>)<sub>3</sub>-NH-C-OBu-t
$$R R2$$

PAGE 2-A

RN 271574-81-3 CAPLUS

CN 1-Piperazinepropanamine, N-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-4-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 271574-80-2 CMF C22 H27 F N6

$$N$$
— (CH<sub>2</sub>)<sub>3</sub>— NH—  $N$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 271574-82-4 CAPLUS

CN 1,2-Propanediol, 3-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ \end{array}$$

$$\begin{array}{c} OH \\ | \\ CH \\ - CH \\ - CH_2 - OH \\ \end{array}$$

$$\begin{array}{c} OH \\ | \\ CH_2 - OH \\ \end{array}$$

RN 271574-83-5 CAPLUS

CN 1,3-Propanediamine, N,N,N'-triethyl-N'-[2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
\text{H} \\
\text{NH-CH}_2-\text{CH}_2-\text{N-(CH}_2)} 3-\text{NEt}_2\\
\text{N} \\
\text{F}
\end{array}$$

RN 271574-84-6 CAPLUS

CN 1,3-Propanediamine, N-[2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]ethyl]-N,N',N'-trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \downarrow \\ \text{NH-CH}_2-\text{CH}_2-\text{N-(CH}_2)} \\ \text{N} \end{array}$$

RN 271574-85-7 CAPLUS

CN 2-Pyridinamine, N-(2-[1,4'-bipiperidin]-1'-ylethyl)-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
H \\
NH-CH_2-CH_2-N
\end{array}$$

RN 271574-86-8 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 271574-87-9 CAPLUS

CN 2-Pyridinamine, N-[(1-ethyl-4-piperidinyl)methyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN271574-88-0 CAPLUS

CN 1,2-Ethanediamine, N2,N2-diethyl-N1-[4-[3-(4-fluorophenyl)-1H-pyrazol-4yl]-2-pyridinyl]-1-phenyl- (9CI) (CA INDEX NAME)

RN

271574-89-1 CAPLUS 1-Pentanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN 271574-90-4 CAPLUS

CN 1-Butanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

RN 271574-91-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 271574-93-7 CAPLUS

CN 2-Pyridinamine, N-[2-(1-ethyl-2-piperidinyl)ethyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 271574-96-0 CAPLUS

CN Benzenepropanol,  $\beta$ -[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271574-97-1 CAPLUS

CN Benzeneethanol,  $\beta$ -[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ( $\beta$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271574-98-2 CAPLUS

CN Benzenepropanol,  $\beta$ -[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ( $\beta$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271575-03-2 CAPLUS
CN 2-Propanol, 1-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino], (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271575-04-3 CAPLUS
CN 1,4-Pentanediamine, N4-[4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N1,N1-diethyl- (9CI) (CA INDEX NAME)

RN 271575-05-4 CAPLUS
CN 2-Propanol, 1-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino], (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271575-07-6 CAPLUS
CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[2-(2-methyl-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-CH}_2\text{-CH}_2\text{--N} \\ \\ \text{N} \\ \\ \text{F} \end{array}$$

RN 271575-09-8 CAPLUS

CN 1,2-Ethanediamine, N,N-diethyl-N'-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 271575-10-1 CAPLUS
CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
H\\N\\N\\
\end{array}$$

$$\begin{array}{c}
NH-CH_2-CH_2-N\\
\end{array}$$

$$\begin{array}{c}
N\\
\end{array}$$

RN 271575-11-2 CAPLUS CN Benzeneethanol,  $\beta$ -[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

271575-12-3 CAPLUS RN

1,4-Pentanediamine, N1,N1-diethyl-N4-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-CN yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN

271575-21-4 CAPLUS
Cyclohexanol, 4-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-CN pyridinyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 271575-22-5 CAPLUS
CN Cyclohexanone, 4-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RE.CNT 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L27
    ANSWER 8 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN.
     2002:888716 CAPLUS
AN
     137:384853
DN
     Preparation of pyrazolyl pyridinamines and pyrimidinamines as inhibitors
TТ
     of Src and other protein kinases
IN
     Moon, Young-Choon
                                                                    Janney Lang
     Vertex Pharmaceuticals Incorporated, USA
PA
SO
     PCT Int. Appl., 75 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
    WO 2002092573
                          A2
                                20021121
                                            WO 2002-US15606
                                                                    20020516
PΙ
     WO 2002092573
                          A3
                                20040122
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             GM, HR, HU, ID, IL, ÎN,—IÉ, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
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             KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                20040407
                                            EP 2002-769762
                                                                    20020516
     EP 1404669
                          A2
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2004534754
                          Т2
                                20041118
                                            JP 2002-589459
                                                                    20020516
PRAI WO 2002-US15606
                          W
                                20020516
     MARPAT 137:384853
OS
     Title compds. I [wherein G = XR or XAr; X = independently alkylidene
ΑB
     wherein 1-2 non-adjacent methylene units are independently replaced by O,
     NR, S, CO, CONR, NRCO, NRCONR, SO, SO2, NRSO2, SO2NR, or NRSO2NR; A = N or
     CR; R = H or (un) substituted aliphatic group; or NR2 = heterocyclyl; Ar =
     (un) substituted 5-6 membered monocyclic ring with 0-3 heteroatoms or 8\text{--}10
     membered bicyclic ring with 0-4 heteroatoms; R1 = TnR or TnAr; n = 0-1; T
     = CO, CO2, COCO, COCH2CO, CONR, SO2, or SO2NR; R2 = H, Ar, or
     (un) substituted aliphatic group; R3 = R or Ar; or pharmaceutically acceptable
     derivs. thereof] were prepared as inhibitors of protein kinase, particularly
     inhibitors of Src mammalian protein kinase involved in cell proliferation,
     cell death and response to extracellular stimuli (no data). For example,
     3-dimethylamino-1-[5-methyl-3-methylsulfanyl-1-(pyridin-2-yl)-1H-pyrazol-4-
     yl]propenone was coupled with N-(3-benzyloxyphenyl)guanidine in MeOH to
     give II (40%). I and compns. containing I are useful in the treatment and
     prevention of various inflammatory, autoimmune, destructive bone,
     proliferative, infectious, neurodegenerative, allergic, and cardiac
     disorders and diseases (no data).
     475574-61-9P, N-(3-Pyridyl)-N-[4-[5-methyl-3-(methylthio)-1-phenyl-
IT
     1H-pyrazol-4-yl]pyridin-2-yl]amine 475574-62-0P,
     N-(6-Methoxy-3-pyridyl)-N-[4-[5-methyl-3-(methylthio)-1-phenyl-1H-pyrazol-
     4-yl]pyridin-2-yl]amine 475574-81-3P, N-Phenyl-N-[4-[5-(4-
     morpholinylmethyl)-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-2-
     pyridyl]amine 475574-82-4P, N-Phenyl-N-[4-[5-
     ((dimethylamino)methyl)-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-2-
     pyridyl]amine 475574-83-5P, N-Phenyl-N-[4-[5-
     ((diethylamino)methyl)-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-2-
```

pyridyl]amine 475574-84-6P, N-Phenyl-N-[4-[5-(((methyl) (phenylmethyl) amino) methyl) -3-(methylthio) -1-phenyl-1H-pyrazol-4yl]-2-pyridyl]amine 475574-85-7P, N-Phenyl-N-[4-[5-((((methoxycarbonyl)methyl)(methyl)amino)methyl)-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-2-pyridyl]amine 475574-86-8P, N-Phenyl-N-[4-[5-(1-piperazinylmethyl)-3-(methylthio)-1-phenyl-1H-pyrazol-4-y1]-2-pyridyl]amine 475575-06-5P, N-Phenyl-N-[4-[5-methyl-3-(ethylamino)-1-phenyl-1H-pyrazol-4-yl]-2-pyridyl]amine 475575-23-6P, N-Phenyl-N-[4-[5-methyl-3-(methylsulfonyl)-1-phenyl-1H-pyrazol-4-yl]-2-pyridinyl]amine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Src protein kinase inhibitor; preparation of pyrazolyl pyridinamines and pyrimidinamine inhibitors of protein kinases using condensation, cyclization, and substitution reactions) 475574-61-9 CAPLUS

RN

CN

2-Pyridinamine, 4-[5-methyl-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-N-3pyridinyl- (9CI) (CA INDEX NAME)

RN 475574-62-0 CAPLUS

CN 2-Pyridinamine, N-(6-methoxy-3-pyridinyl)-4-[5-methyl-3-(methylthio)-1phenyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN475574-81-3 CAPLUS

CN 2-Pyridinamine, 4-[3-(methylthio)-5-(4-morpholinylmethyl)-1-phenyl-1Hpyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 475574-82-4 CAPLUS

CN 2-Pyridinamine, 4-[5-[(dimethylamino)methyl]-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 475574-83-5 CAPLUS

CN 2-Pyridinamine, 4-[5-[(diethylamino)methyl]-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 475574-84-6 CAPLUS

CN 2-Pyridinamine, 4-[5-[[methyl(phenylmethyl)amino]methyl]-3-(methylthio)-1-phenyl-1H-pyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 475574-85-7 CAPLUS

CN Glycine, N-methyl-N-[[3-(methylthio)-1-phenyl-4-[2-(phenylamino)-4-pyridinyl]-1H-pyrazol-5-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \text{Me} & \text{O} \\ & & \text{N} & \text{He} \\ & & \text{N} & \text{CH}_2-\text{N}-\text{CH}_2-\text{C}-\text{OMe} \\ \\ & \text{MeS} & \\ & \text{PhNH} & \text{N} \end{array}$$

RN 475574-86-8 CAPLUS

CN 2-Pyridinamine, 4-[3-(methylthio)-1-phenyl-5-(1-piperazinylmethyl)-1H-pyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 475575-06-5 CAPLUS

CN 2-Pyridinamine, 4-[3-(ethylamino)-5-methyl-1-phenyl-1H-pyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 475575-23-6 CAPLUS
CN 2-Pyridinamine, 4-[5-methyl-3-(methylsulfonyl)-1-phenyl-1H-pyrazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)

```
ANSWER 9 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
     2002:814127 CAPLUS
AN
DN
     137:325409
     Preparation of isoxazole derivatives as inhibitors of Src and other
ΤI
     protein kinases
IN
     Harrington, Edmund
     Vertex Pharmaceuticals Incorporated, USA
PA
SO
     PCT Int. Appl., 63 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                   DATE
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                                            _____
     WO 2002083668
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                                                                   20020410
PΙ
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             GM, HR, HU, ID, N, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CF, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         CA 2002-2443234
     CA 2443234
                               20021024
                                                                   20020410
                          AA
                              20040107 / EP 2002-731356
     EP 1377572
                          A1
                                                                   20020410
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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             IE, SI, LT, LV,
     JP 2005500261
                          Т2
                                20050106/
                                          JP 2002-581423
                                                                   20020410
PRAI US 2001-282935P
                          Р
                                20010410
     WO 2002-US11609
                          W
                                20020410
     MARPAT 137:325409
OS
     Isoxazole derivs. of formula I [X = alkylene, O, S, (substituted) NH, SO2,
AΒ
     etc.; A = N, (substituted) CH; R = H, alkyl, aryl, etc.; R1 = H, alkyl,
     aryl, acyl, etc.; R2 = H, alkyl, CH2OH, CH0, CH2NH2, aryl, etc.] are
     prepared These compds. are inhibitors of protein kinase, particularly
     inhibitors of Src mammalian protein kinase involved in cell proliferation,
     cell death and response to extracellular stimuli. Thus, II was prepared
     from 3-(bis(methylthio)methylene)pentane-2,4-dione, DMF di-Me acetal and
     3,5-dimethoxyphenyl guanidine. Many of the compds. tested for inhibition
     of Src had IC50 < 1 \muM.
IT
     473445-73-7P 473445-74-8P 473445-75-9P
     473445-76-0P 473445-77-1P 473445-78-2P
     473445-79-3P 473445-88-4P 473445-91-9P
     473445-97-5P 473445-98-6P 473446-00-8P
     473446-02-5P 473446-03-6P 473446-09-2P
     473446-11-6P 473446-12-7P 473446-77-4P
     473446-79-6P 473446-81-0P 473446-83-2P
     473447-15-3P 473447-17-5P 473447-19-7P
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     473447-45-9P 473447-46-0P 473447-47-1P
     473447-48-2P 473447-49-3P 473447-50-6P
     473447-72-2P 473448-01-0P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
```

(preparation of isoxazole derivs. as inhibitors of Src, Lck, and JNK3

protein kinases) RN 473445-73-7 CAPLUS

CN Benzonitrile, 3-[[4-[5-ethyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473445-74-8 CAPLUS

CN Benzoic acid, 3-[[4-[5-ethyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473445-75-9 CAPLUS

CN 2-Pyridinamine, N-(3-fluorophenyl)-4-[5-methyl-3-(methylthio)-4-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 473445-76-0 CAPLUS

CN 2-Pyridinamine, N-(4-fluorophenyl)-4-[5-methyl-3-(methylthio)-4-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 473445-77-1 CAPLUS

CN Ethanone, 1-[4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 473445-78-2 CAPLUS

CN Methanone, [4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]phenyl]phenyl- (9CI) (CA INDEX NAME)

RN 473445-79-3 CAPLUS

CN Benzamide, 4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & \\ H_2N-C & & \\$$

RN 473445-88-4 CAPLUS

CN 2-Pyridinamine, 4-[5-ethyl-3-(methylthio)-4-isoxazolyl]-N-phenyl- (9CI)

(CA INDEX NAME)

RN 473445-91-9 CAPLUS

CN Benzoic acid, 3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473445-97-5 CAPLUS

CN 2-Pyridinamine, N-(4-methoxyphenyl)-4-[5-methyl-3-(methylthio)-4-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 473445-98-6 CAPLUS

CN 2-Pyridinamine, N-(3-methoxyphenyl)-4-[5-methyl-3-(methylthio)-4-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 473446-00-3 CAPLUS

CN Benzoic acid, 3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 473446-02-5 CAPLUS

CN 2-Pyridinamine, 4-[5-methyl-3-(methylthio)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 473446-03-6 CAPLUS

CN Benzoic acid, 4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473446-09-2 CAPLUS

CN Benzoic acid, 4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 473446-11-6 CAPLUS

CN Benzonitrile, 3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473446-12-7 CAPLUS

CN Benzonitrile, 4-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473446-77-4 CAPLUS

CN 1,4-Benzenediamine, N,N-dimethyl-N'-[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 473446-79-6 CAPLUS

CN 2-Pyridinamine, 4-[5-methyl-3-(methylthio)-4-isoxazolyl]-N-(3-nitrophenyl)-(9CI) (CA INDEX NAME)

RN 473446-81-0 CAPLUS

CN Acetamide, N-[3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 473446-83-2 CAPLUS

CN 1,3-Benzenediamine, N-[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 473447-15-3 CAPLUS

CN Benzenepropanoic acid, 3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 473447-17-5 CAPLUS

CN Benzeneacetic acid, 3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473447-19-7 CAPLUS

CN Benzenemethanol, 3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 473447-21-1 CAPLUS

CN L-Valine, N-[3-[3-[[4-[5-methyl-3-(methylthio)-4-isoxazolyl]-2-pyridinyl]amino]phenyl]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 473447-23-3 CAPLUS

CN 2-Pyridinamine, 4-[5-methyl-3-(methylthio)-4-isoxazolyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

RN 473447-25-5 CAPLUS

CN 2-Pyridinamine, N-(6-methoxy-3-pyridinyl)-4-[5-methyl-3-(methylthio)-4-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 473447-45-9 CAPLUS

CN 2-Pyridinamine, 4-[3-(methylthio)-5-(4-morpholinylmethyl)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 473447-46-0 CAPLUS

CN 2-Pyridinamine, 4-[5-[(dimethylamino)methyl]-3-(methylthio)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 473447-47-1 CAPLUS

CN 2-Pyridinamine, 4-[5-[(diethylamino)methyl]-3-(methylthio)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 473447-48-2 CAPLUS

CN 2-Pyridinamine, 4-[5-[[methyl(phenylmethyl)amino]methyl]-3-(methylthio)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 473447-49-3 CAPLUS

CN Glycine, N-methyl-N-[[3-(methylthio)-4-[2-(phenylamino)-4-pyridinyl]-5-isoxazolyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 473447-50-6 CAPLUS

CN 2-Pyridinamine, 4-[3-(methylthio)-5-(1-piperazinylmethyl)-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 473447-72-2 CAPLUS

CN 2-Pyridinamine, 4-[3-(ethylamino)-5-methyl-4-isoxazolyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 473448-01-0 CAPLUS

CN 2-Pyridinamine, 4-[5-methyl-3-(methylsulfonyl)-4-isoxazolyl]-N-phenyl-(9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

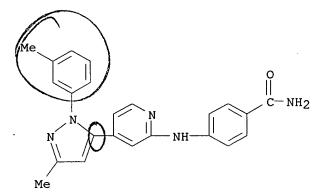
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T.27
    ANSWER 10 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
AN
     2002:716268 CAPLUS
DN
     137:247688
     Preparation of pyridinylpyrazoles for the treatment of COPD
ΤI
     Alonso-Alija, Cristina; Goldmann, Siegfried; Dodd, Sara; Fitzgerald, Mary;
IN
     Nash, Kevin
PA
     Bayer Aktiengesellschaft, Germany
SO
     PCT Int. Appl., 55 pp.
     CODEN: PIXXD2
DТ
     Patent
LΑ
     English
FAN.CNT 1
                                DATE
                                            APPLICATION NO.
                                                                    DATE
     PATENT NO.
                         KIND
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                                                                    20020304
PΙ
     WO 2002072571
                          A2
                                20020919
                                            WO 2002-EP2316
     WO 2002072571
                          A3
                                20031030
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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             GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
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                                            GB 2001-6061
                                                                    20010312
     GB 2373245
                          Α1
                                20020918
PRAI GB 2001-6061
                                20010312
                          Α
     MARPAT 137:247688
os
     The title compds. [I; R1, R2 = H, halo, alkyl, etc.; R3 = H, halo, OH,
AΒ
     etc.; R4 = H, halo, alkyl; or R3 and R4 together with the carbon atoms to
     which they are attached form a [N-alkylcarbonyl]pyrrolidin ring; R5 =
     alkyl; A = C, N; D = 5-10 membered aromatic ring which can contain up to 3
     heteroatoms selected from the group consisting of N, O, or S], useful in
     medicaments, especially for the treatment of COPD, were prepared Thus,
reacting
     2-chloro-4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]pyridine with
     4-aminobenzamide afforded 18.5% II which showed IC50 of 0.13 μM against
     p38 map kinase.
IT
     459433-62-6P 459433-63-7P 459433-64-8P
     459433-65-9P 459433-66-0P 459433-67-1P
     459433-68-2P 459433-69-3P 459433-70-6P
     459433-71-7P 459433-72-8P 459433-73-9P
     459433-74-0P 459433-75-1P 459433-76-2P
     459433-77-3P 459433-78-4P 459433-79-5P
     459433-80-8P 459433-81-9P 459433-82-0P
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     459433-92-2P 459433-93-3P 459433-94-4P
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     459434-13-0P 459434-14-1P 459434-15-2P
     459434-16-3P 459434-17-4P 459434-18-5P
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459434-19-6P 459434-20-9P 459434-21-0P 459434-22-1P 459434-23-2P 459434-24-3P 459434-25-4P 459434-26-5P 459434-27-6P 459446-72-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridinylpyrazoles for the treatment of COPD) 459433-62-6 CAPLUS

RN 459433-62-6 CAPLUS
CN Benzamide, 4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)



RN 459433-63-7 CAPLUS

CN Benzenesulfonamide, 4-[[4-[1-(4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459433-64-8 CAPLUS

CN

Phenol, 3-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459433-65-9 CAPLUS

CN 2-Pyridinamine, N-(2-methoxyphenyl)-4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 459433-66-0 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 459433-67-1 CAPLUS

CN 2-Pyridinamine, N-(3-methoxyphenyl)-4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 459433-68-2 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 459433-69-3 CAPLUS

CN Benzenesulfonamide, 4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} & \text{O} \\ \text{N} & \text{NH} & \text{S-NH}_2 \\ \text{Me} & \text{O} & \text{O} \\ \end{array}$$

RN 459433-70-6 CAPLUS

CN Acetamide, N-[4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459433-71-7 CAPLUS

CN Benzenesulfonamide, N-cyclopropyl-3-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459433-72-8 CAPLUS

CN Benzenesulfonamide, N-methyl-3-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459433-73-9 CAPLUS

CN 2-Pyridinamine, N-[4-[2-fluoro-1-(fluoromethyl)ethoxy]phenyl]-4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

Me 
$$CH_2F$$
  $O-CH-CH_2F$   $Me$ 

RN 459433-74-0 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-N-[3-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 459433-75-1 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-N-[4-(1H-1,2,3-triazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 459433-76-2 CAPLUS

CN Benzenesulfonamide, 3-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & & \\ & & & \\ & & & \\ N & & & \\ N & \\ N & & \\ N &$$

RN 459433-77-3 CAPLUS

CN Benzoic acid, 4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459433-78-4 CAPLUS

CN Benzenesulfonamide, N-methyl-4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459433-79-5 CAPLUS

CN Methanesulfonamide, N-[4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459433-80-8 CAPLUS

CN Benzamide, 4-[[4-[1-(4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F \\ \hline \\ N \\ \hline \\ N \\ \hline \\ NH \\ \hline \\ NH \\ \hline \\ C-NH_2 \\ \hline \\ Me \\ \end{array}$$

RN 459433-81-9 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-N-phenyl-(9CI) (CA INDEX NAME)

RN 459433-82-0 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 459433-83-1 CAPLUS

CN Benzamide, 4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)

RN 459433-84-2 CAPLUS

CN Benzoic acid, 4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 459433-85-3 CAPLUS

CN Benzenesulfonamide, 4-[[4-[1-(4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)

RN 459433-86-4 CAPLUS

CN Benzamide, 4-[[4-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \\ \text{N} \\ \\ \text{N} \\ \\ \text{NH} \end{array}$$

RN 459433-87-5 CAPLUS

CN Benzenesulfonamide, 3-[[4-[1-(4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F \\ \hline \\ N \\ \hline \\ N \\ \hline \\ NH \\ \hline \\ NH \\ \hline \\ NH \\ \hline \\ O \\ \\ O \\ \\ \end{array}$$

RN 459433-88-6 CAPLUS

CN Benzenesulfonamide, 4-[[4-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \\ \text{N} \\ \\ \text{N} \\ \\ \text{NH} \end{array}$$

RN 459433-89-7 CAPLUS

CN Benzamide, 4-[[4-[3-(1-methylethyl)-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} & \text{O} \\ \hline \\ N & \text{NH} \end{array}$$

RN 459433-90-0 CAPLUS

CN Benzamide, 3-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

Me NH NH 
$$C-NH_2$$
Me

RN 459433-91-1 CAPLUS

CN Benzamide, N-methyl-4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459433-92-2 CAPLUS

CN Methanesulfonamide, N-[4-[[4-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459433-93-3 CAPLUS

CN Methanesulfonamide, N-[4-[[4-[1-(4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459433-94-4 CAPLUS

CN Benzenesulfonamide, 3-[[4-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ N & & & & \\ N & & & \\ N & & & \\ N & \\ N$$

RN 459433-95-5 CAPLUS

CN Methanesulfonamide, N-[4-[[4-[3-methyl-1-(2-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459433-96-6 CAPLUS

CN Benzamide, N,N-dimethyl-4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459433-97-7 CAPLUS

CN Benzenesulfonamide, 4-[[4-[3-methyl-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459433-98-8 CAPLUS

CN Benzamide, 4-[[4-[3-methyl-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F_3C & & O \\ & & \\ N & & \\ N & & \\ Me & & \\ \end{array}$$

RN 459433-99-9 CAPLUS

CN Methanesulfonamide, N-[4-[[4-[1-(5-benzofuranyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459434-00-5 CAPLUS

CN Benzenesulfonamide, 4-[[4-[1-(5-benzofuranyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 459434-01-6 CAPLUS

CN Carbamic acid, [4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 459434-02-7 CAPLUS

CN Benzamide, 3-methyl-4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} \\ \text{N} & \text{NH} \\ \text{Me} \end{array}$$

RN 459434-03-8 CAPLUS

CN Pyrrolidine, 1-[[4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 459434-04-9 CAPLUS

CN Benzamide, 4-[[4-[1-(5-benzofuranyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 459434-05-0 CAPLUS

CN Carbamic acid, [4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 459434-06-1 CAPLUS

CN Benzoic acid, 3-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459434-07-2 CAPLUS

CN Benzoic acid, 3-[[3-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]benzoyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & O & CO_2H \\ \hline N & NH & C-NH & CO_2H \\ \hline Me & CO_2H & CO_2H & CO_2H \\ \hline \end{array}$$

RN 459434-08-3 CAPLUS

CN Methanesulfonamide, N-[4-[[4-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459434-09-4 CAPLUS

CN Benzenesulfonamide, 4-[[4-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459434-10-7 CAPLUS

CN Benzamide, 4-[[4-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459434-11-8 CAPLUS

CN 1,4-Benzenediamine, N-[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 459434-12-9 CAPLUS

CN Methanesulfonamide, N-[4-[[4-[3-methyl-1-(2-pyridinyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459434-13-0 CAPLUS

CN Benzenesulfonamide, 4-[[4-[3-methyl-1-(2-pyridinyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 459434-14-1 CAPLUS

CN 1,4-Benzenediamine, N-[4-[1-(5-benzofuranyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 459434-15-2 CAPLUS

CN Benzenesulfonamide, 4-[[4-[1-(5-benzofuranyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)

RN 459434-16-3 CAPLUS

CN Benzamide, 4-[[4-[1-(5-benzofuranyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 459434-17-4 CAPLUS

CN Benzamide, 3-[[4-[1-(5-benzofuranyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 459434-18-5 CAPLUS

CN Benzamide, 4-[[4-[1-(4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F \\ \hline \\ N \\ \hline \\ Me \end{array}$$

RN 459434-19-6 CAPLUS

CN 1,4-Benzenediamine, N-[4-[1-(4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 459434-20-9 CAPLUS

CN Benzamide, 3-[[4-[1-(4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} F \\ N \\ N \\ NH \\ O \\ \end{array}$$

RN 459434-21-0 CAPLUS

CN Methanesulfonamide, N-[4-[[4-[1-(7-fluoro-3-methylbenzo[b]thien-5-yl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 459434-22-1 CAPLUS

CN Propanamide, N-[4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459434-23-2 CAPLUS

CN Ethanesulfonamide, N-(ethylsulfonyl)-N-[4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459434-24-3 CAPLUS

CN Ethanesulfonamide, N-[4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459434-25-4 CAPLUS

CN Benzenesulfonamide, N-[4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459434-26-5 CAPLUS

CN 1-Propanesulfonamide, N-[4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459434-27-6 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-[4-[[4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 459446-72-1 CAPLUS

CN Benzenesulfonamide, 3-[[4-[1-(5-benzofuranyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

L27 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:688508 CAPLUS

DN 137:232866

TI 2-Aminopyridine and 2-pyridone C-nucleosides, oligonucleotides comprising, and tests using the same oligonucleotides

IN Froehler, Brian C.; Gutierrez, Arnold J.; Matteucci, Mark D.

PA Isis Pharmaceuticals, Inc., USA

SO U.S., 18 pp. CODEN: USXXAM

DT Patent

LA English

FAN. CNT 1

IAN CNI I					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 6447998	 В1	20020910	US 1997-906378	19970805
	US 6495672	B1	20021217	US 2000-717422	20001121
	US 2003120065	A1	20030626	US 2002-171270	20020613
PRAI	US 1996-23241P	P	19960809		
	US 1997-906378	A3	19970805		
	US 2000-717422	<b>A</b> 1	20001121		

AB The present invention provides 2-aminopyridine and 2-pyridone C-nucleosides and oligonucleotides containing the subject nucleosides. The nucleosides are useful in the preparation of the subject oligonucleotides. The oligonucleotides are useful in oligonucleotide-based diagnosis and separation through triplex binding.

IT 455944-68-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-aminopyridine and 2-pyridone C-nucleosides, oligonucleotides comprising, and triplex binding capture)

RN 455944-68-0 CAPLUS

CN D-erythro-Pentitol, 1-C-(2-amino-3,6-dimethyl-4-pyridinyl)-1,4-anhydro-2-deoxy-, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L27
    ANSWER 12 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
AN
    2002:615603 CAPLUS
DN
     137:169514
ΤI
     Preparation of pyrazoles as TGF-\beta inhibitors
     Gellibert, Francoise Jeanne
IN
     Glaxo Group Limited, UK
PΑ
SO
     PCT Int. Appl., 39 pp.
     CODEN: PIXXD2
DT
     Patent
LА
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE.
                                            APPLICATION NO.
                                                                   DATE
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                                _____
                         ____
                                            WO 2002-GB424
PΙ
    WO 2002062787
                          A1/
                                20020815
                                                                   20020131
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, ĎĘ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                          A1 🥢 20031126
    EP 1363904
                                           \ EP 2002-710136
                                                                  20020131
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                             FI, RO, MK, CY, AL, TR
             IE, SI, LT, LV,
                                            JP 2002-563140
     JP 2004521901
                          Т2
                                20040722
                                                                    20020131
    US 2004097502
                                            US 2003-470862
                          A1
                                20040520
                                                                    20030731
PRAI GB 2001-2670
                          Α
                                20010202
     GB 2001-19399
                                20010809
                          Α
    WO 2002-GB424
                          W
                                20020131
    MARPAT 137:169514
OS
AΒ
    The title compds. [I; R1 = H, alkyl, CH2CONR4R5 (wherein R4 = H, alkyl; R5
     = alkyl); R2 = (un)substituted (CH2)nPh, (CH2)nheterocyclyl,
     (CH2) nheteroaryl; R3 = H, halo, CN, etc.; n = 0-5; X, X1 = CH, N, provided
     that X and X1 are not both N], useful in therapy, particularly in the
     treatment of prophylaxis of disorders characterized by overexpression of
     transforming growth factor oldsymbol{eta} (TGF-oldsymbol{eta}), were prepared. Thus reacting
     4-{4-[3-(pyridin-2-yl)-1-trityl-1H-pyrazol-4-yl]-(pyridin-2-
     yl)amino}phenol (preparation given) with 1-(2-chloroethyl)piperidine.HCl in the
    presence of Cs2CO3 in Me2CO followed by trityl group removal afforded 49%
     I [R1, R3 = H; R2 = 4-(2-piperidinoethoxy)phenyl]. All 28 exemplified
     compds. I showed IC50 of 5 \mu M or below in TGF-\beta assay, and IC50 of
     1 μM or below against kinase Alk5.
TΤ
     446880-51-9P 446880-52-0P 446880-53-1P
     446880-54-2P 446880-55-3P 446880-56-4P
     446880-57-5P 446880-58-6P 446880-59-7P
     446880-61-1P 446880-62-2P 446880-63-3P
     446880-64-4P 446880-65-5P 446880-66-6P
     446880-67-7P 446880-68-8P 446880-69-9P
     446880-70-2P 446880-71-3P 446880-72-4P
     446880-73-5P 446880-74-6P 446880-75-7P
     446880-76-8P 446880-77-9P 446880-78-0P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
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(Uses)

(preparation of pyrazoles as  $TGF-\beta$  inhibitors)

RN 446880-51-9 CAPLUS

CN 2-Pyridinamine, N-(4-chlorophenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)

RN 446880-52-0 CAPLUS

CN 2-Pyridinamine, N-phenyl-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 446880-53-1 CAPLUS

CN 2-Pyridinamine, N-(4-fluorophenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)

RN 446880-54-2 CAPLUS

CN 2-Pyridinamine, N-(2-furanylmethyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)

RN 446880-55-3 CAPLUS

CN 2-Pyridinamine, N-[3-(methylsulfonyl)phenyl]-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 446880-56-4 CAPLUS

CN Benzonitrile, 3-[[4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 446880-57-5 CAPLUS

CN Benzonitrile, 2-methoxy-4-[[4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 446880-58-6 CAPLUS

CN 2-Pyridinamine, N-[3-[2-(1-piperidinyl)ethoxy]phenyl]-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 446880-59-7 CAPLUS

CN 2-Pyridinamine, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

HN NH O- 
$$CH_2$$
-  $CH_2$ -  $N$ 

RN 446880-61-1 CAPLUS

CN 2-Pyridinamine, N-(3-chlorophenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)

RN 446880-62-2 CAPLUS

CN 2-Pyridinamine, N-(3-methylphenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)

RN 446880-63-3 CAPLUS

CN 2-Pyridinamine, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-N-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

HN NH O- 
$$CH_2$$
 -  $CH_2$  -  $N$ 

RN 446880-64-4 CAPLUS

CN 2-Pyridinamine, N-(3,4-dimethoxyphenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 446880-65-5 CAPLUS

CN 2-Pyridinamine, N-(4-chloro-3-methylphenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 446880-66-6 CAPLUS

CN Benzonitrile, 2-chloro-5-[[4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 446880-67-7 CAPLUS

CN Phenol, 4-[[4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 446880-68-8 CAPLUS

CN 2-Pyridinamine, N-(4-methoxyphenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)

RN 446880-69-9 CAPLUS

CN 2-Pyridinamine, N-(4-methylphenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)

RN 446880-70-2 CAPLUS

CN 2-Pyridinamine, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-N-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 446880-71-3 CAPLUS

CN 2-Pyridinamine, N-(3,4-dimethylphenyl)-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)

RN 446880-72-4 CAPLUS

CN 2-Pyridinamine, 4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 446880-73-5 CAPLUS

CN 2-Pyridinamine, N-[3-(1-methylethoxy)phenyl]-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 446880-74-6 CAPLUS

CN 2-Pyridinamine, N-[4-(methylsulfonyl)phenyl]-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 446880-75-7 CAPLUS

CN Benzonitrile, 2-methoxy-4-[[4-[3-(6-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 446880-76-8 CAPLUS

CN Morpholine, 4-[4-[[4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

RN 446880-77-9 CAPLUS

CN Piperazine, 1-methyl-4-[4-[[4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

RN 446880-78-0 CAPLUS

CN 2-Pyridinamine, N-[4-[2-(1-piperidinyl)ethoxy]phenyl]-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 446880-79-1 CAPLUS

CN 2-Pyridinamine, N-[3-[(1-methyl-1H-imidazol-2-yl)methoxy]phenyl]-4-[3-(2-pyridinyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & & \\ & & \\ N & & \\ & & \\ N & & \\ \end{array}$$

IT 446880-85-9P 446880-86-0P 446880-87-1P 446880-88-2P 446880-89-3P 446880-90-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazoles as  $TGF-\beta$  inhibitors)

RN 446880-85-9 CAPLUS

CN Benzoic acid, 4-[[4-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 446880-86-0 CAPLUS

CN Benzoic acid, 4-[[4-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 446880-87-1 CAPLUS

CN Phenol, 4-[[4-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 446880-88-2 CAPLUS

CN 2-Pyridinamine, N-[4-(phenylmethoxy)phenyl]-4-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 446880-89-3 CAPLUS

CN 2-Pyridinamine, N-(4-chlorophenyl)-4-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 446880-90-6 CAPLUS

CN Morpholine, 4-[4-[4-[3-(2-pyridinyl)-1-(triphenylmethyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:591913 CAPLUS

137:150215 DN

Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor TI agents

Hatayama, Satoshi; Hayashi, Kyoko; Honma, Mitsuki; Takahashi, Ikuko IN

Banyu Pharmaceutical Co., Ltd., Japan PA

SO Jpn. Kokai Tokkyo Koho, 194 pp. CODEN: JKXXAF

DΤ Patent

LΑ Japanese

FAN.CNT 1

OS

PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ 20020809 JP 2001-18755 20010126 JP 2002220338 A2 PIPRAI JP 2001-18755 20010126

This invention relates to the general structures (I; Ar = N-containing hetero AB aromatic ring, X, Z = C, etc.;  $\overrightarrow{Y} = CO$ , etc.; R1-R5 = H, etc.) and their salts as Cdk4 and/or Cdk6 inhibitors. I have antiproliferative effects on cancer cells and are potential antitumor agents. Formulation examples of I capsules, tablets, and injections were given.

322685-65-4 445430-71-7 445430-72-8 ΙT 445430-73-9 445430-74-0 445430-77-3 445430-78-4

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents)

RN 322685-65-4 CAPLUS

MARPAT 137:150215

Urea, N-[4-[1-(phenylmethyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N'-(2,3,5,9b-CN tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

RN 445430-71-7 CAPLUS

Urea, N-[4-(4,5-dihydro-3-methyl-5-isoxazolyl)-2-pyridinyl]-N'-(2,3,5,9b-isoxazolyl)CN tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 445430-72-8 CAPLUS

CN Urea, N-[4-(3-ethyl-4,5-dihydro-5-isoxazolyl)-2-pyridinyl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 445430-73-9 CAPLUS

CN Urea, N-[4-(4,5-dihydro-3-propyl-5-isoxazolyl)-2-pyridinyl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 445430-74-0 CAPLUS

CN Urea, N-[4-(4,5-dihydro-3-pentyl-5-isoxazolyl)-2-pyridinyl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 445430-77-3 CAPLUS

CN Urea, N-[4-[4,5-dihydro-3-(hydroxymethyl)-5-isoxazolyl]-2-pyridinyl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) (CA INDEX NAME)

RN 445430-78-4 CAPLUS

CN Urea, N-[4-(2-butyl-3-propyl-5-isoxazolidinyl)-2-pyridinyl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

- ANSWER 14 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
- 2001:137207 CAPLUS AΝ
- DN 134:178569
- Preparation of as isoxazolylpyrimidines and related compounds as ΤI inhibitors of c-JUN N-terminal kinases and other protein kinases.
- Green, Jeremy; Bemis, Guy; Grillot, Anne-Laure; Ledeboer, Mark; Salituro, IN Francis; Harrington, Edmund; Gao, Huai; Baker, Christopher; Cao, Jingrong; Hale, Michael
- PA Vertex Pharmaceuticals Incorporated, USA
- SO PCT Int. Appl., 96 pp.
  - CODEN: PIXXD2
- ידים Patent
- LΑ English
- FAN.CNT 1

I'MN•		PATENT NO.					D	DATE		APPLICATION NO.						DATE		
PI.	WO 2001012621					A1		20010222		WO 2000-US22445						20000811		
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	PL,	PT,	RO,	RU,
			SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	·TZ,	UA,	UG,	US,	UZ,	VN,
			YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM				
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
						•		GB,	•		-			-	-	SE,	BF,	ВJ,
			CF,	CG,				GN,										
									CA 2000-2381882									
	EP									EP 2000-957485								
		R:		-				ES,				IT,	LI,	LU,	NL,	SE,	MC,	PT,
		•			-	•		RO, MK,		•					0000011			
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						20020412									20020212			
									NO 2002-713									
									us 2002-74177						20020212			
	US 6693108									ZA 2002-1248						20020212		
	ZA 2002001248 US 2005026967								US 2004-779532									
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					P													
		2000				W		2000										
		2000						2000										
	US	2002	- /41	11		AS		2002	0212									

AΒ

MARPAT 134:178569 OS Title compds. [I; XYZ = NOCR2, ON:CR2, N:NNR3, OC(R2):CR2, NN(R3)CR2; R1 = H, CONH2, TnR, TnAr2; R = (substituted) aliphatyl; n = 0, 1; T = CO, CO2, CONH, SO2, SO2NH, COCH2, CH2; R2 = H, R, CH2OR, CH2OH, CHO, CH2SR, CH2SO2R, CH2NH2, CH2CN, (substituted) aryl, arylmethyl, heterocyclyl, heterocyclylmethyl, etc.; R3 = H, R, COR, CO2R, SO2R; G = R, Ar1; Ar1 = (substituted) (fused) aryl, aralkyl, heterocyclyl; Q = Q1, Q2; A = N, CR3; U = CR3, O, S, NR3; Ar2 = (substituted) (fused) aryl, heterocyclyl], were prepared Thus, 4-(5-methyl-3-phenylisoxazole-4-yl)pyrimidin-2-ylamine (preparation given) was refluxed with PhBr, tris(dibenzylideneacetone)dipalladi um, BINAP, and NaOCMe3 were refluxed together for 16 h to give 36% 4-(5-methyl-3-phenylisoxazole-4-yl)pyrimidin-2-ylphenylamine. Several I inhibited KNK3 at  $<0.1 \mu M$ .

## IT 326818-00-2P 326818-01-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of as isoxazolylpyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)
326818-00-2 CAPLUS
2-Pyridinamine, 4-(5-methyl-3-phenyl-4-isoxazolyl)-N-phenyl- (9CI) (CA INDEX NAME)

RN

CN

RN 326818-01-3 CAPLUS
CN 2-Pyridinamine, N-cyclohexyl-4-[3-(4-fluorophenyl)-5-(methoxymethyl)-4-isoxazolyl]- (9CI) (CA INDEX NAME)

IT 326818-49-9 326818-52-4 326818-57-9 326818-58-0 326818-60-4 326818-62-6 326818-70-6 326818-73-9 326818-74-0 326818-98-8 326818-99-9 326819-00-5 326819-01-6 326819-32-3 326819-33-4 326819-34-5 326819-68-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of as isoxazolylpyrimidines and related compds. as inhibitors of c-JUN N-terminal kinases and other protein kinases)

RN 326818-49-9 CAPLUS

CN 2-Pyridinamine, 4-(5-ethyl-3-phenyl-4-isoxazolyl)-N-phenyl- (9CI) (CA INDEX NAME)

RN 326818-52-4 CAPLUS

CN Benzoic acid, 3-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 326818-57-9 CAPLUS

CN 2-Pyridinamine, N-(4-methoxyphenyl)-4-(5-methyl-3-phenyl-4-isoxazolyl)-(9CI) (CA INDEX NAME)

RN 326818-58-0 CAPLUS

CN 2-Pyridinamine, N-(3-methoxyphenyl)-4-(5-methyl-3-phenyl-4-isoxazolyl)-(9CI) (CA INDEX NAME)

RN 326818-60-4 CAPLUS

CN Benzoic acid, 3-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 326818-62-6 CAPLUS

CN Benzoic acid, 4-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 326818-70-6 CAPLUS

CN Ethanone, 1-[4-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 326818-73-9 CAPLUS

CN Benzonitrile, 3-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 326818-74-0 CAPLUS

CN Benzonitrile, 4-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 326818-98-8 CAPLUS

CN 1,4-Benzenediamine, N,N-dimethyl-N'-[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 326818-99-9 CAPLUS

CN 2-Pyridinamine, 4-(5-methyl-3-phenyl-4-isoxazolyl)-N-(3-nitrophenyl)-(9CI) (CA INDEX NAME)

RN 326819-00-5 CAPLUS

CN Acetamide, N-[3-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 326819-01-6 CAPLUS

CN 1,3-Benzenediamine, N-[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl](9CI) (CA INDEX NAME)

RN 326819-32-3 CAPLUS

CN Benzenepropanoic acid, 3-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 326819-33-4 CAPLUS

CN Benzeneacetic acid, 3-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 326819-34-5 CAPLUS
CN D-Valine, N-[3-[3-[[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl]amino]phenyl]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 326819-68-5 CAPLUS
CN 4-Morpholineethanamine, N-[4-(5-methyl-3-phenyl-4-isoxazolyl)-2-pyridinyl](9CI) (CA INDEX NAME)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L27
     ANSWER 15 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
     2001:78363 CAPLUS
AN
DN ·
     134:147614
     Preparation of N,N'-biarylurea derivatives as inhibitors of
ΤI
     cyclin-dependent kinases (Cdk4 and Cdk6)
     Hayama, Takashi; Hayashi, Kyoko; Honma, Mitsutaka; Takahashi, Ikuko
IN
PA
     Banyu Pharmaceutical Co., Ltd., Japan
     PCT Int. Appl., 460 pp.
SO
     CODEN: PIXXD2
ĎΤ
     Patent
LΑ
     Japanese
FAN.CNT 1
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                                                                    DATE
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             SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG,
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                          A2
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                                                                     20000726
                                20020424
                                           EP 2000-949909
                                                                    20000726
     EP 1199306
                          A1
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PRAI JP 1999-211384
                                 19990726
                          Α
                                20000726
     WO 2000-JP4991
                          W
     MARPAT 134:147614
os
     N-(hetero)aryl-N'-heterocyclylurea derivs. represented by general formula
     (I) [wherein Ar represents a nitrogenous heterocyclic aromatic group such as
     (un)substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, thiazolyl,
     isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, pyrrolyl, imidazolyl,
     indolyl, isoindolyl, quinolyl, isoquinolyl, benzothiazolyl, or
     benzoxazolyl; X and Z each represents C or N or together with R1 or R2
     and/or R3 represent CH or N; Y represents CO, SO, or SO2; R1 represents
     hydrogen, (un)substituted lower alkyl, Y3-W2-Y4-R5, etc.; wherein R5 = H,
     (un) substituted lower alkyl, lower alkenyl, lower alkynyl, lower
     cycloalkyl, aryl, imidazolyl, isoxazolyl, isoquinolyl, isoindolyl,
     indazolyl, indolyl, indolidinyl, isothiazolyl, ethylenedioxyphenyl,
     oxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl,
     quinoxalinyl, quinolyl, etc.; W2 = ingle bond, O, S, SO, SO2,
     N-(un) substituted NH, SO2NH, NHSO2NH, NHSO2, CONH, NHCO, NHCONH, NHCO2,
     etc.; Y3, Y4 = single bond, linear or branched lower alkylene; R2 and R3
     each represents hydrogen, lower alkyl or alkoxy, or Y3-W2-Y4-R5 (Y3, W2,
     Y4, R5 = same as above), or one of R2 and R3 together with R1 and X forms
     cyclohexane, cyclopentane, piperidine, 3,4,5,6-tetrahydro-1,3-oxazine,
     tetrahydrothiopyran, pyrrolidine, tetrahydrothiofuran, oxazolidine ring,
     etc.; R4 and R5 represent H, halo, OH, amino, or Y3-W2-Y4-R5 (Y3, W2, Y4,
     R5 = same as above)] or salts thereof are prepared The compds. (e.g. II)
     have a remarkable proliferation-inhibitory effect on tumor cells. A Cdk4
     and/or Cdk6 inhibitor for use in the therapy of malignant tumor can hence
     be provided. II showed IC50 of 0.061 and 0.019 µM against
     cyclin-D1-Cdk4 and cyclin-D2-Cdk4, resp., vs. 0.36 and 0.056 μM, resp.,
     for (\pm)-flavopiridol, and inhibited the proliferation of HCT116 and
     MKN-1 cells with IC50 of 0.013 and 0.10 \muM, resp., vs. 0.15 and 0.87
```

 $\mu M,\ \text{resp., for }(\pm)\text{-flavopiridol.}$  Pharmaceutical formulations containing I were prepared

IT 322684-16-2P 322684-17-3P 322684-18-4P

322684-19-5P 322684-20-8P 322684-21-9P

322684-22-0P 322684-23-1P 322684-24-2P

322684-25-3P 322684-32-2P 322684-33-3P

322684-34-4P 322684-35-5P 322685-65-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents)

RN 322684-16-2 CAPLUS

CN Urea, N-[4-[(5S)-4,5-dihydro-3-methyl-5-isoxazolyl]-2-pyridinyl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 322684-17-3 CAPLUS

CN Urea, N-[4-[(5R)-4,5-dihydro-3-methyl-5-isoxazolyl]-2-pyridinyl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

RN 322684-18-4 CAPLUS

CN Urea, N-[4-[(5S)-3-ethyl-4,5-dihydro-5-isoxazolyl]-2-pyridinyl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322684-19-5 CAPLUS

CN Urea, N-[4-[(5R)-3-ethyl-4,5-dihydro-5-isoxazolyl]-2-pyridinyl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

RN 322684-20-8 CAPLUS

CN Urea, N-[4-[(5S)-3-ethyl-4,5-dihydro-5-isoxazolyl]-2-pyridinyl]-N'-[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322684-21-9 CAPLUS

CN Urea, N-[4-[(5R)-3-ethyl-4,5-dihydro-5-isoxazolyl]-2-pyridinyl]-N'-[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

RN 322684-22-0 CAPLUS

CN Urea, N-[4-[(5S)-4,5-dihydro-3-propyl-5-isoxazolyl]-2-pyridinyl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322684-23-1 CAPLUS

CN Urea, N-[4-[(5R)-4,5-dihydro-3-propyl-5-isoxazolyl]-2-pyridinyl]-N'- (2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322684-24-2 CAPLUS

CN Urea, N-[4-[(5S)-4,5-dihydro-3-pentyl-5-isoxazolyl]-2-pyridinyl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322684-25-3 CAPLUS

CN Urea, N-[4-[(5R)-4,5-dihydro-3-pentyl-5-isoxazolyl]-2-pyridinyl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

Me 
$$(CH_2)_4$$

NO NH

NH

RN 322684-32-2 CAPLUS

CN Urea, N-[4-[4,5-dihydro-3-(hydroxymethyl)-5-isoxazolyl]-2-pyridinyl]-N'[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 322684-33-3 CAPLUS

CN Urea, N-[4-[4,5-dihydro-3-(hydroxymethyl)-5-isoxazolyl]-2-pyridinyl]-N'[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI)
(CA INDEX NAME)

RN 322684-34-4 CAPLUS

CN Urea, N-[4-(2-butyl-3-propyl-5-isoxazolidinyl)-2-pyridinyl]-N'-[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322684-35-5 CAPLUS

CN Urea, N-[4-(2-butyl-3-propyl-5-isoxazolidinyl)-2-pyridinyl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

$$n-Pr$$
 $NH$ 
 $NH$ 
 $NH$ 

RN 322685-65-4 CAPLUS

CN Urea, N-[4-[1-(phenylmethyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 16 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
L27
AN
    2000:368337 CAPLUS
     133:4656
DN
     Preparation of heteroarylpyrazoles as p38 kinase inhibitors
TI
    Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Z.;
IN
     Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.;
     Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle,
    Michael; Huang, He; Khanna, Ish K.; Koszyk, Francis J.; Liao, Shuyuan;
    Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.;
     Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John
     Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Yu, Yi
PA
     G.D. Searle and Co., USA
SO
     PCT Int. Appl., 1210 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 4
                                            APPLICATION NO.
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     CA 2351725
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                                                                   19991117
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     EP 1144403
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                                20041006
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                          A2
                                19980522
                          W
                                19991117
     WO 1999-US26007
     MARPAT 133:4656
OS
     Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2
AB
     = H, halo, alkyl, alkoxy, (un) substituted piperidinyl, etc.; R3 = pyridyl,
     pyrimidinyl, quinolyl, etc.; R4 = H, alkyl, heterocyclyl, aryl, etc.] were
     prepared by reaction of ketones with hydrazines. Thus, R3CH2COMe (R3 =
     4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO and the product
     cyclocondensed with TsNHNH2 to give title compound II. Data for biol.
     activity of I were given.
IT
     216504-83-5P 216504-84-6P 216504-85-7P
     216504-86-8P 216504-87-9P 216504-88-0P
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216505-92-9P 216506-33-1P 216506-39-7P

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     271575-12-3P 271575-21-4P 271575-22-5P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of heteroarylpyrazole p38 kinase inhibitors by
        cyclocondensation of hydrazines with ketones)
RN
     216504-83-5 CAPLUS
CN
     2-Pyridinamine, 4-[3-(3-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI)
     (CA INDEX NAME)
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RN 216504-84-6 CAPLUS
CN 2-Pyridinamine, 4-[3-methyl-5-(3-methylphenyl)-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)

RN 216504-85-7 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-5-(2-methylphenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216504-86-8 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 216504-87-9 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI)

(CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 216504-88-0 CAPLUS
CN 2-Pyridinamine, 4-[3-(4-methoxyphenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)

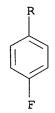
PAGE 1-A

PAGE 2-A

RN 216505-92-9 CAPLUS

CN 1,3-Propanediamine, N-[5-(4-fluorophenyl)-4-[2-[(phenylmethyl)amino]-4-pyridinyl]-1H-pyrazol-3-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

## ●3 HCl

RN 216506-33-1 CAPLUS CN 1-Butanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 216506-39-7 CAPLUS CN 2(1H)-Pyridinone, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-, hydrazone (9CI) (CA INDEX NAME)

RN 216506-40-0 CAPLUS
CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-N-(phenylmethyl)(9CI) (CA INDEX NAME)

RN 216506-41-1 CAPLUS

CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-N-(2-phenylethyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ \end{array}$$

$$NH-CH_2-CH_2-Ph$$

$$N$$

RN 216506-42-2 CAPLUS

CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-N-ethyl- (9CI) (CA INDEX NAME)

RN 216506-64-8 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-N-[(2S)-2-methylbutyl]- (9CI) (CA INDEX NAME)

RN 216506-65-9 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 216506-66-0 CAPLUS

CN 2-Pyridinemethanamine, N-[4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216506-67-1 CAPLUS

CN 3-Pyridinemethanamine, N-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-

pyridinyl] - (9CI) (CA INDEX NAME)

RN 216506-72-8 CAPLUS

CN 2-Pyridinamine, N-[1-(4-fluorophenyl)ethyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216506-73-9 CAPLUS

CN 2-Pyridinamine, N-[(3-fluorophenyl)methyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216506-82-0 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[1-(phenylmethyl)-

4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 216506-83-1 CAPLUS

CN 1,2-Ethanediamine, N'-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NH-CH}_2\text{-CH}_2\text{-NMe}_2 \\ \\ \text{N} \end{array}$$

RN 216506-85-3 CAPLUS

CN 4-Morpholineethanamine, N-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216506-87-5 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[2-(1H-imidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
H \\
N \\
N \\
N
\end{array}$$

$$\begin{array}{c}
N \\
N \\
N
\end{array}$$

$$\begin{array}{c}
N \\
N \\
N
\end{array}$$

RN 216506-93-3 CAPLUS

CN 2-Pyridinamine, 4-[1-[2-(dimethylamino)ethyl]-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 216506-95-5 CAPLUS

CN 2-Pyridinamine, N-[(4-fluorophenyl)methyl]-4-[3-(4-fluorophenyl)-1-[2-(4-morpholinyl)ethyl]-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 216506-96-6 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-4-piperidinyl(9CI) (CA INDEX NAME)

RN 216506-98-8 CAPLUS

CN 2-Pyridinamine, 4-[1-[2-(diethylamino)ethyl]-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 216506-99-9 CAPLUS

CN Ethanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 216507-01-6 CAPLUS

CN Ethanol, 2-[[4-[3-(4-fluorophenyl)-1-methyl-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RN 216507-03-8 CAPLUS CN 1-Propanol, 3-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 216507-04-9 CAPLUS
CN 1H-Pyrazole-1-ethanol, 3-(4-fluorophenyl)-4-[2-[[(4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216507-06-1 CAPLUS
CN 1H-Pyrazole-1-ethanol, 5-(4-fluorophenyl)-4-[2-[[(4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 271574-67-5 CAPLUS

CN Carbamic acid, [3-[[5-(4-chlorophenyl)-4-[2-[(phenylmethyl)amino]-4-pyridinyl]-1H-pyrazol-3-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

HN NH- (CH<sub>2</sub>)<sub>3</sub>-NH-C-OBu-t
$$R R2$$

PAGE 2-A

RN 271574-81-3 CAPLUS

CN 1-Piperazinepropanamine, N-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-4-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 271574-80-2 CMF C22 H27 F N6

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ N & & & \\ N & & & \\ Me & & & \\ N & & \\ N$$

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 271574-82-4 CAPLUS

CN 1,2-Propanediol, 3-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{N} \\ \text{NH-CH}_2\text{-CH-CH}_2\text{-OH} \\ \\ \text{N} \\ \end{array}$$

RN 271574-83-5 CAPLUS

CN 1,3-Propanediamine, N,N,N'-triethyl-N'-[2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Et} \\ \text{NH-CH}_2\text{-CH}_2\text{-N-(CH}_2)} \\ \text{N} \end{array}$$

RN 271574-84-6 CAPLUS

CN 1,3-Propanediamine, N-[2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]ethyl]-N,N',N'-trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH-CH}_2\text{-CH}_2\text{-N-(CH}_2)}_{\text{N}} \text{NMe}_2 \\ \text{N} \\ \text{F} \end{array}$$

RN 271574-85-7 CAPLUS

CN 2-Pyridinamine, N-(2-[1,4'-bipiperidin]-1'-ylethyl)-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
H \\
NH-CH_2-CH_2-N
\end{array}$$

RN 271574-86-8 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 271574-87-9 CAPLUS

CN 2-Pyridinamine, N-[(1-ethyl-4-piperidinyl)methyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 271574-88-0 CAPLUS

CN 1,2-Ethanediamine, N2,N2-diethyl-N1-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-1-phenyl- (9CI) (CA INDEX NAME)

RN 271574-89-1 CAPLUS

CN 1-Pentanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271574-90-4 CAPLUS

CN 1-Butanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

RN 271574-91-5 CAPLUS

1-Piperidinecarboxylic acid, 4-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 271574-93-7 CAPLUS

CN 2-Pyridinamine, N-[2-(1-ethyl-2-piperidinyl)ethyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 271574-96-0 CAPLUS

CN Benzenepropanol,  $\beta$ -[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

RN 271574-97-1 CAPLUS

CN Benzeneethanol,  $\beta$ -[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ( $\beta$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271574-98-2 CAPLUS

CN Benzenepropanol,  $\beta$ -[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ( $\beta$ S)- (9CI) (CA INDEX NAME)

RN 271575-03-2 CAPLUS
CN 2-Propanol, 1-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino], (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271575-04-3 CAPLUS
CN 1,4-Pentanediamine, N4-[4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N1,N1-diethyl- (9CI) (CA INDEX NAME)

RN 271575-05-4 CAPLUS

CN 2-Propanol, 1-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 271575-07-6 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[2-(2-methyl-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text$$

RN 271575-09-8 CAPLUS

CN 1,2-Ethanediamine, N,N-diethyl-N'-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 271575-10-1 CAPLUS
CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 271575-11-2 CAPLUS CN Benzeneethanol,  $\beta$ -[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-, ( $\beta$ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

271575-12-3 CAPLUS RN

1,4-Pentanediamine, N1,N1-diethyl-N4-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-CN yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN

271575-21-4 CAPLUS Cyclohexanol, 4-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-CN pyridinyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

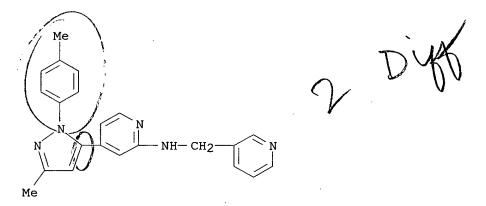
RN 271575-22-5 CAPLUS
CN Cyclohexanone, 4-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 17 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
L27
     1999:736693 CAPLUS
AN
DN
     131:351324
     Preparation of 1,5-diarylpyrazoles as p38 kinase inhibitors
ΤI
IN
     Weier, Richard M.; Collins, Paul W.; Xu, Xiangdong; Crich, Joyce Z.; Rao,
     Shashidhar N.
PA
     G.D. Searle and Co., USA
SO
     PCT Int. Appl., 156 pp.
     CODEN: PIXXD2
DT
     Patent
LА
     English
FAN.CNT 1
                                            APPLICATION NO.
                                                                   DATE
     PATENT NO.
                        KIND
                                DATE
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     ______
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                                                                   _____
                                            WO 1999-US7036
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            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
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             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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     CA 2331878
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                                19991129
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                                                                   19990512
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PRAI US 1998-85494P
                          Ρ
                                19980514
     WO 1999-US7036
                          W
                                19990512
OS
     MARPAT 131:351324
AΒ
     Title compds. [I; R = H, halo, hydrocarbyl, CO2H, etc.; R1 = H, N3,
     hydrocarbyl(amino), aryl, etc.; R2 = H, N3, (halo)hydrocarbyl,hydrocarbylo
     xycarbonyl, aryl, etc.; R3 = (un)substituted aryl; Z = N or CH] were
     prepared Thus, 4-propionylpyridine was condensed with Me2NCH(OMe)2 and the
     product cyclocondensed with 4-F-C6H4NHNH2 to give I (R = R1 = H, R2 = Me,
     R3 = C6H4F-4, Z = CH). Data for biol. activity of I were given.
IT
     250262-86-3P 250262-87-4P 250262-88-5P
     250262-89-6P 250262-90-9P 250262-92-1P
     250262-93-2P 250262-94-3P 250263-00-4P
     250263-01-5P 250263-02-6P 250263-05-9P
     250263-10-6P 250263-11-7P 250263-19-5P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of 1,5-diarylpyrazoles as p38 kinase inhibitors)
RN
     250262-86-3 CAPLUS
CN
     Ethanol, 2-[[4-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]-2-
     pyridinyl]amino]- (9CI) (CA INDEX NAME)
```

RN 250262-87-4 CAPLUS

CN 3-Pyridinemethanamine, N-[4-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 250262-88-5 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 250262-89-6 CAPLUS

CN 2-Pyridinamine, N-ethyl-4-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]-(9CI) (CA INDEX NAME)

RN 250262-90-9 CAPLUS

CN 2-Pyridinamine, N-methyl-4-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]-(9CI) (CA INDEX NAME)

RN 250262-92-1 CAPLUS

CN Ethanol, 2-[[4-[1-(4-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{HO-CH}_2\text{-CH}_2\text{-NH} \\ \end{array}$$

RN 250262-93-2 CAPLUS

CN 2-Pyridinamine, 4-[1-(4-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 250262-94-3 CAPLUS

CN 2-Pyridinamine, 4-[1-(4-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{Ph-} \text{CH}_2 - \text{CH}_2 - \text{NH} \\ \end{array}$$

RN 250263-00-4 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 250263-01-5 CAPLUS

CN 2-Pyridinamine, 4-[1-(3-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 250263-02-6 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 250263-05-9 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 250263-10-6 CAPLUS

CN 2-Pyridinamine, 4-[1-(4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 250263-11-7 CAPLUS

CN 2-Pyridinamine, 4-[1-(4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Ph-CH}_2\text{-CH}_2\text{-NH} & & & \\ \end{array}$$

RN 250263-19-5 CAPLUS

CN 3-Pyridinemethanamine, N-[4-[1-(3-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 18 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN
AN
     1998:789144 CAPLUS
DN
     130:38377
ΤI
     Preparation of heteroarylpyrazoles as p38 kinase inhibitors
     Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce
TN
     Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Hanson, Gunnar J.;
     Koszyk, Francis J.; Liao, Shuyuan; Partis, Richard A.; Rao, Shashidhar N.;
     Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Weier, Richard
     M.; Xu, Xiangdong
     G.D. Searle and Co., USA; et al.
PA
     PCT Int. Appl., 828 pp.
SO
     CODEN: PIXXD2
DΤ
     Patent
     English
LΑ
FAN.CNT 4
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                                         APPLICATION NO.
                                                                 DATE
     PATENT NO.
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                        A1 19981126 WO 1998-US10436 19980522
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                               19970522
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                         W
os
     MARPAT 130:38377
AB
     Title compds. [I; R1 = H, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H,
     halo, alkyl, alkoxy, etc.; R3 = pyridyl, pyrimidinyl, quinolyl, etc.; R4 =
     H, alkyl, heterocyclyl, aryl, etc.] were prepared Thus, R3CH2COMe (R3 =
     4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO and the product
     cyclocondensed with TsNHNH2 to give title compound II. Data for biol.
     activity of I were given.
ΙT
     216504-83-5P 216504-84-6P 216504-85-7P
     216504-86-8P 216504-87-9P 216504-88-0P
     216505-92-9P 216506-33-1P 216506-39-7P
     216506-40-0P 216506-41-1P 216506-42-2P
     216506-64-8P 216506-65-9P 216506-66-0P
     216506-67-1P 216506-72-8P 216506-73-9P
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216506-96-6P 216506-98-8P 216506-99-9P 216507-01-6P 216507-03-8P 216507-04-9P 216507-06-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroarylpyrazoles as p38 kinase inhibitors)

RN 216504-83-5 CAPLUS

CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216504-84-6 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-5-(3-methylphenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216504-85-7 CAPLUS

CN 2-Pyridinamine, 4-[3-methyl-5-(2-methylphenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216504-86-8 CAPLUS CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

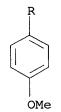
RN 216504-87-9 CAPLUS CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 216504-88-0 CAPLUS CN 2-Pyridinamine, 4-[3-(4-methoxyphenyl)-5-methyl-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

RN 216505-92-9 CAPLUS

CN 1,3-Propanediamine, N-[5-(4-fluorophenyl)-4-[2-[(phenylmethyl)amino]-4-pyridinyl]-1H-pyrazol-3-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

●3 HCl

RN 216506-33-1 CAPLUS CN 1-Butanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 216506-39-7 CAPLUS CN 2(1H)-Pyridinone, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-, hydrazone (9CI) (CA INDEX NAME)

RN 216506-40-0 CAPLUS
CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-N-(phenylmethyl)(9CI) (CA INDEX NAME)

RN 216506-41-1 CAPLUS CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-N-(2-phenylethyl)-(9CI) (CA INDEX NAME)

RN 216506-42-2 CAPLUS CN 2-Pyridinamine, 4-[3-(3-chlorophenyl)-1H-pyrazol-4-yl]-N-ethyl- (9CI) (CA INDEX NAME)

RN 216506-64-8 CAPLUS
CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-N-[(2S)-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 216506-65-9 CAPLUS
CN 2-Pyridinamine, 4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 216506-66-0 CAPLUS

CN 2-Pyridinemethanamine, N-[4-[3-(4-chlorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216506-67-1 CAPLUS

CN 3-Pyridinemethanamine, N-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216506-72-8 CAPLUS

CN 2-Pyridinamine, N-[1-(4-fluorophenyl)ethyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216506-73-9 CAPLUS

CN 2-Pyridinamine, N-[(3-fluorophenyl)methyl]-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216506-82-0 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 216506-83-1 CAPLUS

CN 1,2-Ethanediamine, N'-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{H} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{F} \end{array}$$

RN 216506-85-3 CAPLUS

CN 4-Morpholineethanamine, N-[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216506-87-5 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[2-(1H-imidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H & NH - CH_2 - CH_2 - N \\
\hline
N & N
\end{array}$$

RN 216506-93-3 CAPLUS

CN 2-Pyridinamine, 4-[1-[2-(dimethylamino)ethyl]-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 216506-95-5 CAPLUS

CN 2-Pyridinamine, N-[(4-fluorophenyl)methyl]-4-[3-(4-fluorophenyl)-1-[2-(4-morpholinyl)ethyl]-1H-pyrazol-4-yl]- (9CI) (CA INDEX NAME)

RN 216506-96-6 CAPLUS

CN 2-Pyridinamine, 4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-4-piperidinyl-(9CI) (CA INDEX NAME)

RN 216506-98-8 CAPLUS

CN 2-Pyridinamine, 4-[1-[2-(diethylamino)ethyl]-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 216506-99-9 CAPLUS CN Ethanol, 2-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ N \\ \end{array}$$

RN 216507-01-6 CAPLUS
CN Ethanol, 2-[[4-[3-(4-fluorophenyl)-1-methyl-1H-pyrazol-4-yl]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

Me N HO- 
$$CH_2$$
-  $CH_2$ -  $NH$ 

RN 216507-03-8 CAPLUS CN 1-Propanol, 3-[[4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]-2-pyridinyl]amino]-(9CI) (CA INDEX NAME)

RN 216507-04-9 CAPLUS
CN 1H-Pyrazole-1-ethanol, 3-(4-fluorophenyl)-4-[2-[[(4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)

RN 216507-06-1 CAPLUS
CN 1H-Pyrazole-1-ethanol, 5-(4-fluorophenyl)-4-[2-[[(4-fluorophenyl)methyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)

$$HO-CH_2-CH_2$$
 $N$ 
 $NH-CH_2$ 
 $N$ 

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

## 10/779,532

L27 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1993:191550 CAPLUS

DN 118:191550

TI Preparation an 2-aminopyridine-3-carbonitriles as human cytomegalovirus inhibitors

IN Koeckritz, Peter; Ruhmann, Christiane; Fieblinger, Dagmar; Schroeder, Cornelia Dr; Joksch, Burkhart; Heider, Harald; Weiher, Bettina; Liebscher, Juergen

PA Berlin - Chemie AG, Germany

SO Ger. Offen., 14 pp. CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE					
					<b>-</b>					
PI	DE 4117802	A1	19921203	DE 1991-4117802	19910530					
PRAI	DE 1991-4117802		19910530							

OS MARPAT 118:191550

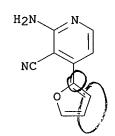
AB Title compds. [I; R1 = H, (cyclo)alkyl, phenylalkyl, aryl, heterocyclyl, etc.; R2 = H, alkyl, phenylalkyl, aryl; R3 = H, alkyl, aryl; R1R2, R2R3 = (benzo-condensed)alkylene] were prepared by cyclization of R4R5NCR3:CR2CR1:C(CN)2 (R4, R5 = C1-4 alkyl, cycloalkyl, PhCH2, Ph, or R4R5N = pyrrolidin-1-yl, piperidino, etc,) in the presence of NH3. I (R1 = 2-thienyl, R2 = R3 = H) had IC50 of 2.5 μmol/L against human cytomegalovirus in vitro.

IT 146353-93-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as human cytomegalovirus inhibitor)

RN 146353-93-7 CAPLUS

CN 3-Pyridinecarbonitrile, 2-amino-4-(2-furanyl)- (9CI) (CA INDEX NAME)





## => => d his

## (FILE 'HOME' ENTERED AT 14:42:44 ON 24 FEB 2005)

	FILE	'REGIS	STRY'	ENTERED A	т 14:4	3:05	ON 24	4 FE	в 200!	5			
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L2			SCREE	N 2016 OR	2026	OR	2039	OR	2040	OR	2045	OR	2047
L3			STRUC	rure uplo	ADED								
L4			QUE L	3 AND L1	NOT L2				•				
L5		19		SSS SAM									
L6				1839									
L7			SCREE	N 2016 OR	2026	OR	2039	OR	2040	OR	2045	OR	2047
F8				TURE UPLO									
L9			<b>~</b>	B AND L6	NOT L7								
L10		50	S L9	SSS SAM									
L11			SCREE	N 1839									
L12				N 2016 OR		OR	2039	OR	2040	OR	2045	OR	2047
L13				rure uplo									
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L15		50		SSS SAM									
L16				N 1839									0045
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L27	FILE		JS' EN' S L26	TERED AT	14:56:	04 01	N 24 1	FEB :	2005				
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STN INTERNATIONAL LOGOFF AT 14:57:39 ON 24 FEB 2005

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE

TOTAL

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ENTRY SESSION

0.00